

chain nodes : 11

ring nodes:
1 2 3 4 5 6 7 8

chain bonds :

6-11

ring bonds : ·

1-2 1-7 2-3 3-4 3-8 4-5 5-6 6-7 7-8

exact/norm bonds :

1-2 1-7 2-3 3-4 3-8 4-5 5-6 6-7 6-11 7-8

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 11:Atom

=> d his

(FILE 'HOME' ENTERED AT 20:32:13 ON 04 APR 2006)

FILE 'REGISTRY' ENTERED AT 20:32:27 ON 04 APR 2006

L1 STRUCTURE UPLOADED

L2 16 S L1

L3 1014 S L1 SSS FUL

FILE 'CAPLUS' ENTERED AT 20:35:17 ON 04 APR 2006

L4 47 S L3

L5 36 S L4 AND PATENT/DT

L6 11 S L4 NOT L5

L7 0 S L6 AND (2006 OR 2005 OR 2004 OR 2003)/SO

FILE 'REGISTRY' ENTERED AT 20:36:24 ON 04 APR 2006

721 S L3 AND NRS>2

L9 293 S L3 NOT L8

FILE 'CAPLUS' ENTERED AT 20:37:15 ON 04 APR 2006

L10 37 S L8

L11 1 S US20040127491/PN

SELECT RN L11 1-

FILE 'REGISTRY' ENTERED AT 20:38:16 ON 04 APR 2006

L12 68 S E1-68

L13 43 S L8 AND L12

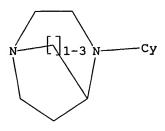
L14 25 S L12 NOT L13

=> d 11

L8

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> d ibib abs hitstr total 110
YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

10/528,361

ANSWER 1 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

2005:1132908 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 143:405799

Preparation of amino-substituted tricyclic derivatives TITLE:

as modulators of  $\alpha$ 7 nicotinic receptors and

methods of use

Schrimpf, Michael R.; Sippy, Kevin B.; Ji, Jianguo; INVENTOR(S):

Li, Tao; Frost, Jennifer M.; Briggs, Clark A.;

Bunnelle, William H.

PATENT ASSIGNEE(S): USA

U.S. Pat. Appl. Publ., 90 pp. SOURCE:

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO. DA	DATE				
US 2005234031	A1	20051020	US 2005-51437 20	0050204				
PRIORITY APPLN. INFO.:			US 2004-541651P P 20	0040204				
OTHER SOURCE(S):	MARPAT	143:405799						

OT

GT

The title compds. I [A and B = H, halo, alkoxy, amino, etc.; X1, X2 = C, AB CH, N; provided that when one of X1 and X2 = N, thee other + C or CH; Y1 = C(0), CH2, CH(OH), C(S), etc.; Y2 is a bond or Y2 = O, S, and N(R12); R12= H, alkyl; Rx = H, halo, alkoxy, amino, alkylamino, dialkylamino, acylamino, dialkylaminoalkyl, and cyano; a = 0-1; b = 0-1; provided that when one of a and b = 0, the other = 1] and compns. containing I are contemplated as well as methods for treating conditions or disorders prevented by or ameliorated by  $\alpha$ 7 nAChR ligands that encompass compds. I and other tricyclic derivs. Compds. I had Ki values of from .apprx.1 nM to .apprx.10 µM when tested by the [3H]-methyllycaconitine binding assay, many having a Ki of <1 μM. (3H)-Cytisine binding values of I ranged from .apprx.50 nM to at least 100 µM. Preferred compds. typically exhibited greater potency at  $\alpha 7$  receptors compared to  $\alpha 4\beta 2$  receptors. Although the methods of preparation are not claimed, 67 example prepns. are included. For example, 2,7-bis[((2R)-1-methylpyrrolidin-2-yl)methoxy]fluoren-9-one di-p-toluenesulfonate was prepared in 4 steps (54, 89, 26 and 74 % yields) starting from 2,7-dihydroxyfluoren-9-one, (2R)-(+)-1-Boc-2pyrrolidinemethanol and involving intermediates 2,7-bis[((2R)-1-Bocpyrrolidin-2-yl)methoxy]fluoren-9-one, 2,7-bis[((2R)-pyrrolidin-2yl)methoxy]fluoren-9-one, and 2,7-bis[((2R)-1-methylpyrrolidin-2yl)methoxy]fluoren-9-one.

IT 867373-96-4P 867374-15-0P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

CN

(Uses)

(preparation of amino-substituted tricyclic derivs. as modulators of  $\alpha 7$  nicotinic receptors and methods of use)

RN 867373-96-4 CAPLUS

9H-Fluoren-9-one, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 867373-95-3 CMF C20 H20 N2 O

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 867374-15-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5,5-dioxido-3-dibenzothienyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 867374-14-9 CMF C19 H20 N2 O2 S

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

ANSWER 2 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ECESSION NUMBER: 2005:823709 CAPLUS

143:229836 DOCUMENT NUMBER:

Preparation of dimeric azacyclic compounds and their TITLE:

use as nicotine receptor ligands

Peters, Dan; Olsen, Gunnar M.; Nielsen, Elsebet INVENTOR(S):

Ostergaard; Jorgensen, Tino Dyhring; Timmermann,

Daniel B.

Neurosearch A/S, Den. PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	KINI	D :	DATE		1	APPL:	ICAT:	DATE										
WO	2005075479					- :	2005	0818	,	70 2	005-1		20050201					
	W: AE, AG, AL,		AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,			
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	IT,	LT,	LU,	MC,	ΝL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	
		MR,	NE,	SN,	TD,	TG												
PRIORITY	APP	LN.	INFO	.:					·	DK 2	004-	170		A 20040204				
											004-		P 20040205					

OTHER SOURCE(S):

MARPAT 143:229836

GI

Title compds. AZA-X'-A'-Y'-L-Y''-A''-X''-AZA [AZA = azacyclic group, e.g., AB quinuclidinyl, etc.; X', X'' = absent, O, OCH2, etc.; A', A'' = (un) substituted aromatic cyclic, etc.; Y', Y'' = absent, bond; L = absent, bond; I] are prepared For instance, II is prepared in two steps from 3-quinuclidinol and 2,5-dibromothiazole. II has an IC50 = 0.20  $\mu M$  for the nicotinic  $\alpha\text{-bungarotoxin}$  receptor. I are useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), the peripheral nervous system (PNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, or disorders related to neurodegeneration, diseases or disorders related to inflammation, pain,

and withdrawal symptoms caused by the termination of abuse of chemical substances.

IT 862554-05-0P 862554-06-1P 862554-08-3P

862554-09-4P 862554-10-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dimeric azacyclic compds. and their use as nicotine receptor ligands)

RN 862554-05-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4,4'-[1,2-phenylenebis(thio-6,3-pyridazinediyl)]bis- (9CI) (CA INDEX NAME)

RN 862554-06-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4,4'-[1,2-phenylenebis(thio-6,3-pyridazinediyl)]bis-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862554-05-0 CMF C28 H34 N8 S2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 862554-08-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4,4'-[1,3-phenylenebis(thio-6,3-pyridazinediyl)]bis-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862554-07-2 CMF C28 H34 N8 S2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 862554-09-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4,4'-[3,3'-bipyridazine]-6,6'-diylbis-(9CI) (CA INDEX NAME)

RN 862554-10-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4,4'-pyridazinediylbis-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862554-09-4 CMF C22 H30 N8

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

0 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACSESSION NUMBER: 2005:823579 CAPLUS

DOCUMENT NUMBER: 143:222538

TITLE: Diazabicyclic aryl derivatives as cholinergic receptor

modulators

INVENTOR(S): Peters, Dan; Olsen, Gunnar M.; Nielsen, Elsebet

Ostergaard; Jorgensen, Tino Dyhring; Timmermann,

Daniel B.

PATENT ASSIGNEE(S): Neurosearch A/S, Den. SOURCE: PCT Int. Appl., 51 pp.

SOURCE: PCT Int. Appl. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	KIN	D DATE APPLICATION NO.								DATE							
WO	WO 2005074940			A1 20050818					70 2	005-1		20050201					
	W:	AE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	•	CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
							ID,										
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	ΜX,	MZ,	NA,	NI,
							PL,										
							TZ,										
	RW:	BW,															
							RU,										
							GR,										
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
		MR,	NE,	SN,	TD,	ТG											
PRIORITY	APP	LN.	INFO	.:										_	A 2		
							004-				P 2						
							004-			_	A 2						
										US 2	004-	5733	47P		P 2	0040	524
OTHER SOURCE(S):					MARPAT 143:222538												

$$c \equiv c$$

AB This invention relates to novel diazabicyclic aryl derivs. which are found to be cholinergic ligands at the nicotinic acetylcholine receptors and

GI

modulators of the monoamine receptors and transporters. Due to their pharmacol. profile the compds. of the invention may be useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), the peripheral nervous system (PNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, diseases or disorders related to neuro-degeneration, diseases or disorders related to inflammation, pain, and withdrawal symptoms caused by the termination of abuse of chems. substances. Among a number of compds. prepared was I fumarate which is an effect inhibitor of  $3H-\alpha$ -bungarotoxin binding.

IT 862665-27-8P 862665-33-6P 862665-35-8P 862665-37-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(diazabicyclic aryl derivs. as cholinergic receptor modulators) 862665-27-8 CAPLUS

1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(phenylethynyl)-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

RN

CN

CRN 862665-26-7 CMF C19 H20 N4

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 862665-33-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-[(4-methoxyphenyl)ethynyl]-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862665-32-5 CMF C20 H22 N4 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 862665-35-8 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-pyridinylethynyl)-3-pyridazinyl]-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862665-34-7 CMF C18 H19 N5

CM 2

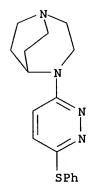
CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 862665-37-0 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(phenylthio)-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862665-36-9 CMF C17 H20 N4 S



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

IT 862665-29-0P 862665-31-4P 862665-39-2P 862665-41-6P 862665-43-8P 862665-44-9P 862665-48-3P 862665-49-4P 862665-50-7P 862665-51-8P 862665-53-0P 862665-54-1P 862665-55-2P 862665-56-3P 862665-57-4P 862665-61-0P 862665-62-1P 862665-63-2P 862665-64-3P 862665-65-4P 862665-66-5P

RN 862665-31-4 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-[(3-fluorophenyl)ethynyl]-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862665-30-3 CMF C19 H19 F N4

CM 2

CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown.

RN 862665-39-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(phenylsulfinyl)-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862665-38-1 CMF C17 H20 N4 O S

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 862665-41-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenoxy-3-pyridazinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862665-40-5 CMF C17 H20 N4 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 862665-43-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-[(phenylmethyl)thio]-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 862665-42-7 CMF C18 H22 N4 S

CM 2

CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown.

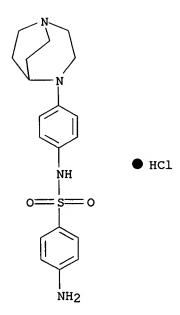
RN 862665-44-9 CAPLUS CN Urea, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-N'-phenyl- (9CI) (CA INDEX NAME)

RN 862665-48-3 CAPLUS
CN Benzamide, 2-amino-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-50-7 CAPLUS
CN Benzamide, 4-amino-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-51-8 CAPLUS
CN Benzamide, 4-amino-N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-53-0 CAPLUS
CN Benzenesulfonamide, 4-amino-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl], monohydrochloride (9CI) (CA INDEX NAME)



RN 862665-54-1 CAPLUS
CN Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

## HCl

RN 862665-55-2 CAPLUS

Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-2-nitro-, monohydrochloride (9CI) (CA INDEX NAME)CN

862665-56-3 CAPLUS RN

Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-3-nitro-, CN monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-57-4 CAPLUS

CN Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-4-nitro-, monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-58-5 CAPLUS

CN Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-59-6 CAPLUS
CN Benzenesulfonamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]- (9CI)
(CA INDEX NAME)

RN 862665-60-9 CAPLUS
CN Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-4-methoxy-,
monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-61-0 CAPLUS

CN Benzamide, 3-cyano-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-62-1 CAPLUS

CN Benzamide, 4-cyano-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-63-2 CAPLUS

CN Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-3-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-64-3 CAPLUS

CN Benzamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-4-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-65-4 CAPLUS

CN Benzamide, N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-2-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-66-5 CAPLUS

CN Benzamide, N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 862665-67-6 CAPLUS
CN Urea, N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-N-hydroxy-N'-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 862665-68-7 CAPLUS
CN Urea, N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-N'-phenyl-,
monohydrochloride (9CI) (CA INDEX NAME)

## HCl

RN 862665-69-8 CAPLUS

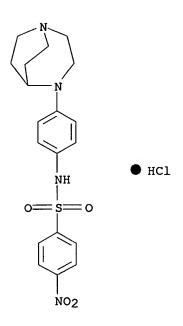
CN Benzamide, N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-4-nitro-, monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-70-1 CAPLUS

CN Benzamide, N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-3-nitro-, monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-71-2 CAPLUS

CN Benzenesulfonamide, N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-4-nitro-, monohydrochloride (9CI) (CA INDEX NAME)



RN 862665-72-3 CAPLUS

CN Benzamide, N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-73-4 CAPLUS

CN Benzamide, 3-cyano-N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-74-5 CAPLUS

CN Benzamide, 4-cyano-N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 862665-75-6 CAPLUS

CN Benzamide, 2-(acetylamino)-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl]-(9CI) (CA INDEX NAME)

RN 862665-76-7 CAPLUS

CN Benzamide, 3-(acetylamino)-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl](9CI) (CA INDEX NAME)

RN 862665-78-9 CAPLUS

CN Benzamide, 4-(acetylamino)-N-[4-(1,4-diazabicyclo[3.2.2]non-4-yl)phenyl](9CI) (CA INDEX NAME)

RN 862665-79-0 CAPLUS

CN Benzamide, 4-(acetylamino)-N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN

862665-80-3 CAPLUS
Benzamide, 3-(acetylamino)-N-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]- (9CI) (CA INDEX NAME) CN

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 4 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:612120 CAPLUS

DOCUMENT NUMBER: 143:139163

TITLE: Combination of an atypical antipsychotic and a

nicotinic receptor agonist or antagonist for cognition

enhancement and psychotic disorders

INVENTOR(S): Romano, Steven Joseph
PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	PATENT	NO.			KIN	D :	DATE		i	APPL:	ICAT		DATE					
W	WO 2005063296					A2 20050714				WO 2	004-		20041215					
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		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	ĒE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
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U	US 2005215571						2005	0929		US 2	004-	1810	0		20041220			
RIORITY APPLN. INFO.:						US 2003-532082P								P 20031223				
miinn	MAD	D Z CI	1 4 2 .	1201	63													

OTHER SOURCE(S): MARPAT 143:139163

AB This invention relates to combinations of an atypical antipsychotic, and a nicotinic receptor agonist or antagonist, kits containing such combinations, pharmaceutical compns. comprising such combinations, and methods of using such combinations to treat patients suffering from cognitive impairment disorders or psychotic disorders or conditions. A composition was prepared by combining ziprasidone with the nicotinic agonist varenicline tartrate.

## IT 439608-24-9 858129-43-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination of an atypical antipsychotic and a nicotinic receptor agonist or antagonist for cognition enhancement and psychotic disorders)

RN 439608-24-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenyloxazolo[4,5-b]pyridin-2-yl)-(9CI) (CA INDEX NAME)

RN 858129-43-8 CAPLUS

CN Oxazolo[5,4-b]pyridine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-5-phenyl-(9CI) (CA INDEX NAME)

L10 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:430803 CAPLUS

DOCUMENT NUMBER: TITLE:

141:7145 Preparation of 1,4-diazabicyclo[3.2.2] nonane

derivatives as cholinergic ligands and modulators of

monoamine receptors and transporters

INVENTOR(S):

Peters, Dan; Olsen, Gunnar M.; Nielsen, Elsebet

Ostergaard; Jorgensen, Tino Dyhring; Ahring, Philip K.

PATENT ASSIGNEE(S):

Neurosearch A/S, Den. PCT Int. Appl., 52 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIND DATE					APPLICATION NO.						DATE				
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			CR,																	
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		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	ΝI,	NO,	NZ,			
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	U 2003														20031110					
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			SI,																	
J	P 2006	5081	09		Т2		2006	0309		JP 2	004-	5506	59		2	0031	110			
PRIORI'	PRIORITY APPLN. INFO.:														A 20021111					
										US 2										
										WO 2	003-	DK76	9	1	₩ 2	0031	110			
OTHER GI	SOURCE	E(S):			MAR	PAT	141:	7145												

The title compds. I [wherein n = 1-3; A = phenylene or (un) substituted AB heteroarylene; B = aryl, heteroaryl, etc.; with provisos] or enantiomers, or pharmaceutically acceptable salts thereof are prepared as cholinergic

ligands at the nicotinic acetylcholine receptors and modulators of the monoamine receptors and transporters. For example, the compound II was prepared in a multi-step synthesis. II showed inhibitory activity with IC50 of 0.0065  $\mu\text{M}$  towards 3H- $\alpha$ -bungarotoxin binding. I may be useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), the peripheral nervous system (PNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, neuro-degeneration, diseases or disorders related to inflammation, pain, and withdrawal symptoms caused by the termination of abuse of chemical substances (no data).

IT 695183-36-9P 695183-40-5P 695183-60-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of diazabicyclo[3.2.2] nonane derivs. as cholinergic ligands)

RN 695183-36-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-pyridinyl)-3-pyridazinyl]- (9CI) (CA INDEX NAME)

RN 695183-40-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-selenophene-3-yl-3-pyridazinyl)- (9CI) (CA INDEX NAME)

RN 695183-60-9 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[1,1'-biphenyl]-4-yl- (9CI) (CA INDEX NAME)

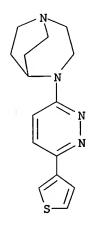
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     695183-79-0P 695183-81-4P 695183-83-6P
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     695183-93-8P 695183-94-9P 695183-95-0P
     695183-96-1P 695183-97-2P 695183-98-3P
     695183-99-4P 695184-00-0P 695184-01-1P
     695184-02-2P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (drug candidate; preparation of diazabicyclo[3.2.2] nonane derivs. as
        cholinergic ligands)
     695183-31-4 CAPLUS
RN
     1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-thienyl)-3-pyridazinyl]- (9CI) (CA
CN
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INDEX NAME)

RN 695183-32-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-thienyl)-3-pyridazinyl]-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 695183-31-4 CMF C15 H18 N4 S

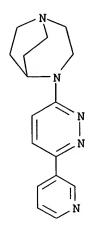


CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 695183-37-0 CAPLUS



CM 2 CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

CMF C15 H18 N4 Se

RN 695183-41-6 CAPLUS

1,4-Diazabicyclo[3.2.2]nonane, 4-(6-selenophene-3-yl-3-pyridazinyl)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 695183-40-5

Page 38

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 695183-43-8 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(2-thienyl)-3-pyridazinyl]- (9CI) (CA INDEX NAME)

RN 695183-44-9 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(2-thienyl)-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 695183-43-8

CMF C15 H18 N4 S

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 695183-46-1 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-selenophene-2-yl-3-pyridazinyl)- (9CI) (CA INDEX NAME)

RN 695183-47-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-selenophene-2-yl-3-pyridazinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 695183-46-1 CMF C15 H18 N4 Se

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 695183-50-7 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(2-furanyl)-3-pyridazinyl]- (9CI) (CA INDEX NAME)

RN 695183-51-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(2-furanyl)-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

Page 41

CRN 695183-50-7 CMF C15 H18 N4 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 695183-53-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-furanyl)-3-pyridazinyl]- (9CI) (CA INDEX NAME)

RN 695183-54-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-furanyl)-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 695183-53-0 CMF C15 H18 N4 O

2 CM

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 695183-57-4 CAPLUS CN

1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(2-thiazolyl)-3-pyridazinyl]- (9CI) (CA INDEX NAME)

695183-58-5 CAPLUS RN

1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(2-thiazolyl)-3-pyridazinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME) CN

CRN 695183-57-4 CMF C14 H17 N5 S

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 695183-61-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[1,1'-biphenyl]-4-yl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 695183-60-9 CMF C19 H22 N2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 695183-74-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(1H-indol-2-yl)-3-pyridazinyl]- (9CI) (CA INDEX NAME)

RN 695183-76-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(1H-indol-3-yl)-3-pyridazinyl]- (9CI) (CA INDEX NAME)

RN 695183-77-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(1H-indol-5-yl)-3-pyridazinyl]- (9CI) (CA INDEX NAME)

RN 695183-79-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(1H-indol-6-yl)-3-pyridazinyl]- (9CI) (CA INDEX NAME)

RN 695183-81-4 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(2-oxazolyl)-3-pyridazinyl]- (9CI) (CA INDEX NAME)

RN 695183-83-6 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(5-oxazolyl)-3-pyridazinyl]- (9CI) (CA INDEX NAME)

RN 695183-84-7 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(5-thiazolyl)-3-pyridazinyl]- (9CI)
(CA INDEX NAME)

RN 695183-85-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(1,3,4-thiadiazol-2-yl)-3-pyridazinyl](9CI) (CA INDEX NAME)

RN 695183-86-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(1,3,4-oxadiazol-2-yl)-3-pyridazinyl]- (9CI) (CA INDEX NAME)

RN 695183-87-0 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-1H-pyrrol-2-yl]- (9CI) (CA INDEX NAME)

RN 695183-88-1 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[1-methyl-5-(2-thienyl)-1H-pyrrol-2-yl]-(9CI) (CA INDEX NAME)

RN 695183-89-2 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-2-thiazolyl]- (9CI) (CA

RN 695183-90-5 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(2-thienyl)-5-thiazolyl]- (9CI) (CA INDEX NAME)

RN 695183-91-6 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-2-furanyl]- (9CI) (CA INDEX NAME)

RN 695183-92-7 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2,2'-bithiophen]-5-yl- (9CI) (CA INDEX NAME)

RN 695183-93-8 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[4-(2-thienyl)-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 695183-94-9 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[1-methyl-5-(2-thienyl)-1H-imidazol-2-yl]-

## (9CI) (CA INDEX NAME)

RN 695183-95-0 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(2-thienyl)-lH-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 695183-96-1 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[1-methyl-2-(2-thienyl)-1H-imidazol-5-yl]-(9CI) (CA INDEX NAME)

RN 695183-97-2 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-2-oxazolyl]- (9CI) (CA TNDEX NAME)

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RN 695183-99-4 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-3-isoxazolyl]- (9CI) (CA INDEX NAME)

RN 695184-00-0 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-3-isothiazolyl]- (9CI) (CA INDEX NAME)

RN 695184-01-1 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[3-(2-thienyl)-5-isoxazolyl]- (9CI) (CA INDEX NAME)

RN 695184-02-2 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[3-(2-thienyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

2004:292025 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

140:321389

TITLE:

Preparation of novel 1,4-diazabicycloalkane

derivatives as cholinergic ligands at the nicotinic

acetylcholine receptors and modulators of the

monoamine receptors and transporters

INVENTOR(S):

Peters, Dan; Olsen, Gunnar M.; Nielsen, Elsebet

Ostergaard; Jorgensen, Tino Dyhring; Ahring, Philip K.

Neurosearch A/s, Den. PCT Int. Appl., 50 pp.

PATENT ASSIGNEE(S):

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P.	PATENT NO.					KIND DATE				APPLICATION NO.					DATE			
W.	WO 2004029053				A1		20040408		WO 2003-DK639					20030929				
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В	BR 2003014183									BR 2003-14183								
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										NO 2005-2124								
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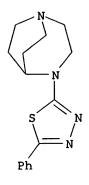
MARPAT 140:321389

GI

The title compds. [I; n = 1-3; X = 0, S, Se; Ar = (un) substituted AΒ (hetero)aryl] and their pharmaceutically-acceptable addition salts, which were found to be cholinergic ligands at the nicotinic acetylcholine receptors and modulators of the monoamine receptors and transporters, were prepared Thus, reacting 1,4-diazabicyclo[3.2.2]nonane with 2-chloro-5-phenyl-1,3,4-thiadiazole (prepns. given) in the presence of Et3N in dioxane followed by conversion into fumarate salt afforded 23% I.fumarate [n = 2; X = S; Ar = Ph] which showed IC50 of 0.0067 μM against 3H-α-bundarotoxine binding in rat brain. Due to their pharmacol. profile the compds. I may be useful for the treatment of diseases or disorders as diverse as those related to the cholinergic system of the central nervous system (CNS), the peripheral nervous system (PNS), diseases or disorders related to smooth muscle contraction, endocrine diseases or disorders, diseases or disorders related to neuro-degeneration, diseases or disorders related to inflammation, pain, and withdrawal symptoms caused by the termination of abuse of chemical substances. The pharmaceutical composition comprising the compound I is claimed.

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IT
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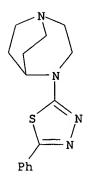
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        (preparation of novel 1,4-diazabicycloalkane derivs. as cholinergic ligands
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       monoamine receptors and transporters)
RN
     677723-95-4 CAPLUS
     1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-1,3,4-thiadiazol-2-yl)- (9CI)
CN
     (CA INDEX NAME)
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RN 677723-96-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-1,3,4-thiadiazol-2-yl)-,
(2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677723-95-4
CMF C15 H18 N4 S



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 677723-97-6 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 677723-98-7 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-1,3,4-oxadiazol-2-yl)-,
(2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677723-97-6 CMF C15 H18 N4 O

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

RN 677723-99-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-furanyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-00-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-furanyl)-1,3,4-oxadiazol-2-yl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677723-99-8 CMF C13 H16 N4 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown.

RN 677724-01-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methoxyphenyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-02-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methoxyphenyl)-1,3,4-oxadiazol-2-yl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677724-01-5 CMF C16 H20 N4 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 677724-03-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-pyridinyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-04-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-pyridinyl)-1,3,4-oxadiazol-2-yl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677724-03-7 CMF C14 H17 N5 O

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CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 677724-05-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-06-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-1,3,4-oxadiazol-2-yl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677724-05-9 CMF C13 H16 N4 O S

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 677724-07-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridinyl)-1,3,4-oxadiazol-2-yl](9CI) (CA INDEX NAME)

RN 677724-08-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridinyl)-1,3,4-oxadiazol-2-yl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677724-07-1 CMF C14 H17 N5 O

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 677724-09-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-chlorophenyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-10-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-chlorophenyl)-1,3,4-oxadiazol-2-yl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677724-09-3 CMF C15 H17 Cl N4 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 677724-11-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methoxyphenyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-12-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methoxyphenyl)-1,3,4-oxadiazol-2-yl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677724-11-7 CMF C16 H20 N4 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 677724-13-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-[1,1'-biphenyl]-4-yl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 677724-14-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-[1,1'-biphenyl]-4-yl-1,3,4-oxadiazol-2-yl)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677724-13-9 CMF C21 H22 N4 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 677724-15-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-naphthalenyl)-1,3,4-oxadiazol-2-yl](9CI) (CA INDEX NAME)

RN 677724-16-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-naphthalenyl)-1,3,4-oxadiazol-2-yl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 677724-15-1 CMF C19 H20 N4 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 677724-17-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-selenophene-2-yl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 677724-18-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-selenophene-3-yl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 677724-19-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-imidazol-2-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-20-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-imidazol-4-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-21-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-imidazol-2-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-22-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-imidazol-4-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-23-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-imidazol-5-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-24-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-pyrazol-3-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-25-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-pyrazol-4-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-26-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrazol-3-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-27-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrazol-4-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-28-6 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrazol-5-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-29-7 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thiazolyl)-1,3,4-thiadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-30-0 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-thiazolyl)-1,3,4-thiadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-31-1 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-thiazolyl)-1,3,4-thiadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-32-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-isothiazolyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-33-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-isothiazolyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-34-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-isothiazolyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-35-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,3-oxadiazol-4-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-36-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,3-oxadiazol-5-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

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RN 677724-37-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3,4-oxadiazol-2-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-38-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,5-oxadiazol-3-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-39-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-1,2,3-triazol-4-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-40-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,3-triazol-4-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-41-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,3-triazol-5-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-42-4 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-1,2,4-triazol-3-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-43-5 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,4-triazol-3-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-44-6 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,4-triazol-5-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-45-7 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2,2'-bi-1,3,4-thiadiazol]-5-yl- (9CI) (CA INDEX NAME)

RN 677724-46-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,4-thiadiazol-3-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-47-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,4-thiadiazol-5-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

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RN 677724-48-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridazinyl)-1,3,4-thiadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-49-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-pyridazinyl)-1,3,4-thiadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-50-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3,5-triazin-2-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

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RN 677724-53-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-thienyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-54-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-pyrrol-2-yl)-1,3,4-oxadiazol-2-yl](9CI) (CA INDEX NAME)

RN 677724-56-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-pyrrol-3-yl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-57-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrrol-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-58-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrrol-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-60-6 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-pyrimidinyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-61-7 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-pyrimidinyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-62-8 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-pyrazinyl-1,3,4-oxadiazol-2-yl)- (9CI)
(CA INDEX NAME)

RN 677724-63-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-selenophene-2-yl-1,3,4-oxadiazol-2-yl)-(9CI) (CA INDEX NAME)

RN 677724-64-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-selenophene-3-yl-1,3,4-oxadiazol-2-yl)-(9CI) (CA INDEX NAME)

RN 677724-65-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-oxazolyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-66-2 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-oxazolyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-67-3 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-oxazolyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-68-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-isoxazolyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-69-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-isoxazolyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-70-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-isoxazolyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-71-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-imidazol-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-72-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-imidazol-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-73-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-imidazol-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-74-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-imidazol-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-75-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-imidazol-5-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-76-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-pyrazol-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-77-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-pyrazol-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-78-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrazol-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-79-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrazol-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-80-0 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-pyrazol-5-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-81-1 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thiazoly1)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-82-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-thiazolyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-83-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-thiazolyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-84-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-isothiazolyl)-1,3,4-oxadiazol-2-yl](9CI) (CA INDEX NAME)

RN 677724-85-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-isothiazolyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-86-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-isothiazolyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677724-87-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,3-oxadiazol-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-88-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,3-oxadiazol-5-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-89-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2,2'-bi-1,3,4-oxadiazol]-5-yl- (9CI) (CA INDEX NAME)

RN 677724-90-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,5-oxadiazol-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-91-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-1,2,3-triazol-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-92-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,3-triazol-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-93-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,3-triazol-5-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-94-6 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-1,2,4-triazol-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-95-7 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,4-triazol-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-96-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-1,2,4-triazol-5-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-97-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3,4-thiadiazol-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-98-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,4-thiadiazol-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677724-99-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,2,4-thiadiazol-5-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-00-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridazinyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-01-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-pyridazinyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-02-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3,5-triazin-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-03-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-quinolinyl)-1,3,4-thiadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-04-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-quinoliny1)-1,3,4-thiadiazol-2-yl](9CI) (CA INDEX NAME)

RN 677725-05-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-isoquinolinyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-06-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-cinnolinyl)-1,3,4-thiadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-07-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-indolizinyl)-1,3,4-thiadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-08-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-indol-2-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-09-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-benzimidazol-2-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-10-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-benzimidazol-2-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-11-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-benzothiazolyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-12-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(6-phthalazinyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-13-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-quinazolinyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-14-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-quinoxalinyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-15-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,8-naphthyridin-2-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-16-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,8-naphthyridin-3-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-17-6 CAPLUS

CN Acridine, 2-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-thiadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-18-7 CAPLUS

CN Acridine, 3-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-thiadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-19-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-benzo[b]thien-2-yl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 677725-20-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-benzo[b]thien-3-yl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 677725-21-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-benzo[b]thien-5-yl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 677725-22-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-benzo[b]thien-6-yl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 677725-23-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-benzofuranyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-24-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-benzofuranyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-25-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-benzofuranyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-26-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(6-benzofuranyl)-1,3,4-oxadiazol-2-yl](9CI) (CA INDEX NAME)

RN 677725-27-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-quinolinyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-28-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-quinolinyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-29-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-isoquinolinyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-30-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-cinnolinyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-31-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-indolizinyl)-1,3,4-oxadiazol-2-yl](9CI) (CA INDEX NAME)

RN 677725-32-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-indol-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-33-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-indol-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-34-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-benzimidazol-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-35-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-methyl-1H-benzimidazol-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-36-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-benzothiazolyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-37-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(6-phthalazinyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-38-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-quinazolinyl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-39-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-quinoxalinyl)-1,3,4-oxadiazol-2-yl](9CI) (CA INDEX NAME)

RN 677725-40-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,8-naphthyridin-2-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-41-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,8-naphthyridin-3-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-42-7 CAPLUS

CN Acridine, 2-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-43-8 CAPLUS

CN Acridine, 3-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-oxadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 677725-44-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-dibenzofuranyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-45-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-dibenzofuranyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-46-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-dibenzothienyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-47-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-dibenzothienyl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-48-3 CAPLUS

CN 10H-Phenoxazine, 2-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 677725-49-4 CAPLUS

CN 10H-Phenoxazine, 3-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-oxadiazol-2-yl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

CCESSION NUMBER: 2004:252513 CAPLUS

DOCUMENT NUMBER: 140:287419

TITLE: Preparation of diazabicyclic compounds as nicotinic

receptor ligands useful in the treatment of CNS and

other disorders

INVENTOR(S): O'Donell, Christopher John; Vincent, Lawrence Albert;

O'Neill, Brian Thomas; Coe, Jotham Wadsworth

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.					D	DATE		APPLICATION NO.					DATE				
WO	WO 2004024729				A1		20040325		WO 2003-IB3795					20030829				
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
	RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	ŪG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
		FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
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OTHER S	THER SOURCE(S):						MARPAT 140:287419											

OTHER SOURCE(S): MARPAT 140:287419

The present invention relates to diazabicyclic compds. (shown as I; AB variables defined below; e.g. II) that are useful in treating central nervous system (CNS) diseases, disorders and conditions, such as but not limited to nicotine addiction, schizophrenia, depression, Alzheimer's disease, Parkinson's disease and ADHD. The present invention further comprises pharmaceutical compns. containing such compds. and methods of treatment comprising the use of such compds. In tests of suppression of nicotine binding to specific receptor sites, tested I exhibited IC50 <100 [1251]-Bungarotoxin binding to nicotinic receptors in GH4Cl cells was inhibited by tested I with IC50 <10  $\mu M$ ; [125I]-Bungarotoxin binding to  $\alpha 1$  nicotinic receptors in Torpedo electroplax membranes was inhibited by tested I with IC50 <100  $\mu M$ . Although the methods of preparation are not claimed, 41 example prepns. are included. For example, II was prepared in 5 steps (58, 90, 74, 80, 22 %, resp., yields) starting with N-benzylation of Et 2-(3-oxopiperazin-2-yl)acetate followed by reduction to 2-(1-benzylpiperazin-2-yl)ethanol followed by cyclization to 4-benzyl-1,4-diazabicyclo[3.2.1]octane followed by debenzylation and heteroarylation at the 4-aza position with 3,5-dibromopyridine. For I: A = CR1 or N; B = CR2 or N; D = CR3 or N; E = CR4 or N; and F = CR5 or N; and the maximum number of N atoms amongst A, B, D, E, and F is two; m = 1-3 and n=1-3 and excluding all compds. where m=n=2; each R1, R2, R3, R4 and R5 = F, C1, Br, I, nitro, cyano, CF3, -NR6R7, -NR6C(O)R7, -NR6C(O)NR7R8, -NR6C(0)OR7, -NR6S(0)2R7, -NR6S(0)2NR7R8, -OR6, -OC(0)R6, -OC(0)OR6, -OC(0)NR6R7, -OC(0)SR6, -C(0)OR6, -C(0)R6, -C(0)NR6R7, -SR6, -S(0)R6, -S(O)2R6, -S(O)2NR6R7, and a substituent from the definition of R6. Each R6, R7, and R8 = H, (un) branched (C1-C8) alkyl, (un) branched (C2-C8) alkenyl, (un) branched (C2-C8) alkynyl, (C3-C8) cycloalkyl, (C4-C8) cycloalkenyl, 3-8 membered heterocycloalkyl, (C5-C11) bicycloalkyl, (C7-C11)bicycloalkenyl, 5-11 membered heterobicycloalkyl, 5-11 membered heterobicycloalkenyl, (C6-C11) aryl, and 5-12 membered heteroaryl; or R1 and R2, or R2 and R3, or R3 and R4, or R4 and R5, may form another 6-membered aromatic or heteroarom. ring sharing A and B, or B and D, or D and E, or E and F, resp., and may be (un) substituted with 1-4 substituents independently set forth in the definition of R6, R7 and R8 above; addnl. details are given in the claims. TΤ 675589-83-0P, 4-(5-Phenylpyridin-3-yl)-1,4diazabicyclo[3.2.1]octane 675589-88-5p, 4-(5-Phenylpyridazin-3yl)-1,4-diazabicyclo[3.2.1]octane 675589-89-6P, 4-(6-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.2.1]octane 675589-93-2P, 4-[5-(3-Trifluoromethylphenyl)pyridin-3-yl]-1,4diazabicyclo[3.2.1]octane 675589-98-7P, 4-[5-(2-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-00-8P, 4-[5-(4-Trifluoromethylphenyl)pyridin-3-yl]-1,4diazabicyclo[3.2.1]octane 675590-02-0P, 4-[5-(2-Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-03-1P, 4-[5-(4-Fluorophenyl)pyridin-3-yl]-1,4diazabicyclo[3.2.1]octane 675590-08-6P, 4-[5-(2-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-09-7p, 4-[5-(3-Methoxyphenyl)pyridin-3-yl]-1,4diazabicyclo[3.2.1]octane 675590-11-1p, 4-[5-(o-Tolyl)pyridin-3yl]-1,4-diazabicyclo[3.2.1]octane 675590-16-6P,  $\overline{4}$ -[5-(3-Trifluoromethylphenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane 675590-17-7p, 4-[5-(4-Chlorophenyl)pyridin-2-yl]-1,4diazabicyclo[3.2.1]octane 675590-18-8P, 4-[5-(o-Tolyl)pyridin-2yl]-1,4-diazabicyclo[3.2.1]octane 675590-19-9P, 4-[5-(3-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane 675590-20-2P, 4-[5-(3-Fluorophenyl)pyridin-2-yl]-1,4-

diazabicyclo[3.2.1]octane 675590-21-3P, 4-[5-(4-

Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-23-5P, 4-[5-(2,4-Dichlorophenyl)pyridin-3-yl]-1,4diazabicyclo[3.2.1]octane 675590-25-7P, 4-[5-(3-Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-27-9P, 4-[5-(p-Tolyl)pyridin-3-yl]-1,4diazabicyclo[3.2.1]octane 675590-29-1P, 4-[5-(4-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-31-5P, 5-(1,4-Diazabicyclo[3.2.1]oct-4-yl)-[3,4']bipyridinyl 675590-34-8P, (+)-4-(5-Phenylpyridin-3-yl)-1,4diazabicyclo[3.2.1]octane 675590-38-2P, (+)-4-(5-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.2.1]octane 675590-40-6P, (+)-4-(6-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.2.1]octane 675590-44-0p, (+) -4-[5-(3-Trifluoromethylphenyl)pyridin-3-yl]-1,4diazabicyclo[3.2.1]octane 675590-48-4P, (+)-4-[5-(2-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-49-5p, (+)-4-[5-(4-Trifluoromethylphenyl)pyridin-3-yl]-1,4diazabicyclo[3.2.1]octane 675590-50-8P, (+)-4-[5-(2-Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-51-9P, (+)-4-[5-(4-Fluorophenyl)pyridin-3-yl]-1,4diazabicyclo[3.2.1] octane 675590-58-6P, (+)-4-[5-(2-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-59-7P, (+)-4-[5-(3-Methoxyphenyl)pyridin-3-yl]-1,4diazabicyclo[3.2.1] octane 675590-60-0P, (+)-4-[5-(o-Tolyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-64-4P, (+)-4-[5-(3-Trifluoromethylphenyl)pyridin-2-yl]-1,4diazabicyclo[3.2.1] octane 675590-65-5P, (+)-4-[5-(4-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane 675590-66-6P, (+)-4-[5-(o-Tolyl)pyridin-2-yl]-1,4diazabicyclo[3.2.1] octane 675590-67-7P, (+)-4-[5-(3-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane 675590-68-8P, (+)-4-[5-(3-Fluorophenyl)pyridin-2-yl]-1,4diazabicyclo[3.2.1] octane 675590-69-9P, (+)-4-[5-(4-Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-70-2P, (+)-4-[5-(2,4-Dichlorophenyl)pyridin-3-yl]-1,4diazabicyclo[3.2.1]octane 675590-71-3P, (+)-4-[5-(3-Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-72-4P, (+)-4-[5-(p-Tolyl)pyridin-3-yl]-1,4diazabicyclo[3.2.1] octane 675590-73-5P, (+)-4-[5-(4-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-75-7p, (+)-5-(1,4-Diazabicyclo[3.2.1]oct-4-y1)-[3,4'] bipyridinyl 675590-78-0P, (-)-4-(5-Phenylpyridin-3-yl)-1,4diazabicyclo[3.2.1] octane 675590-84-8P, (-)-4-(5-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.2.1]octane 675590-86-0P, (-)-4-(6-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.2.1]octane 675590-90-6P, (-) -4-[5-(3-Trifluoromethylphenyl) pyridin-3-yl] <math>-1,4diazabicyclo[3.2.1]octane 675590-94-0P, (-)-4-[5-(2-Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-95-1p, (-)-4-[5-(4-Trifluoromethylphenyl)pyridin-3-yl]-1,4diazabicyclo[3.2.1]octane 675590-96-2P, (-)-4-[5-(2-Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675590-97-3P, (-)-4-[5-(4-Fluorophenyl)pyridin-3-yl]-1,4diazabicyclo[3.2.1]octane 675591-01-2P, (-)-4-[5-(2-Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane 675591-02-3p, (-)-4-[5-(3-Methoxyphenyl)pyridin-3-yl]-1,4diazabicyclo[3.2.1]octane 675591-03-4P, (-)-4-[5-(o-Toly1)pyridin-3-y1]-1,4-diazabicyclo[3.2.1]octane 675591-07-8P, (-) -4-[5-(3-Trifluoromethylphenyl)pyridin-2-yl]-1,4diazabicyclo[3.2.1]octane 675591-08-9P, (-)-4-[5-(4-

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Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane
675591-09-0P, (-)-4-[5-(o-Tolyl)pyridin-2-yl]-1,4-
diazabicyclo[3.2.1]octane 675591-10-3P, (-)-4-[5-(3-
Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.2.1]octane
675591-11-4P, (-)-4-[5-(3-Fluorophenyl)pyridin-2-yl]-1,4-
diazabicyclo[3.2.1]octane 675591-12-5P, (-)-4-[5-(4-
Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675591-13-6P, (-)-4-[5-(2,4-Dichlorophenyl)pyridin-3-yl]-1,4-
diazabicyclo[3.2.1]octane 675591-14-7P, (-)-4-[5-(3-
Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675591-15-8P, (-)-4-[5-(p-Tolyl)pyridin-3-yl]-1,4-
diazabicyclo[3.2.1]octane 675591-16-9P, (-)-4-[5-(4-
Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.2.1]octane
675591-18-1P, (-)-5-(1,4-Diazabicyclo[3.2.1]oct-4-yl)-
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yl)-1,4-diazabicyclo[3.3.2]decane 675592-91-3P,
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675592-95-7p, 4-[5-(3-Trifluoromethylphenyl)pyridin-3-yl]-1,4-
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675593-00-7p, 4-[5-(4-Trifluoromethylphenyl)pyridin-3-yl]-1,4-
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Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-02-9P, 4-[5-(4-Fluorophenyl)pyridin-3-yl]-1,4-
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Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-07-4P, 4-[5-(3-Methoxyphenyl)pyridin-3-yl]-1,4-
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4-[5-(3-Trifluoromethylphenyl)pyridin-2-yl]-1,4-diazabicyclo[3.3.2]decane
675593-14-3P, 4-[5-(4-Chlorophenyl)pyridin-2-yl]-1,4-
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yl]-1,4-diazabicyclo[3.3.2]decane 675593-16-5P,
4-[5-(3-Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.3.2]decane
675593-17-6P, 4-[5-(3-Fluorophenyl)pyridin-2-yl]-1,4-
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Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-19-8P, 4-[5-(2,4-Dichlorophenyl)pyridin-3-yl]-1,4-
diazabicyclo[3.3.2]decane 675593-20-1P, 4-[5-(3-
Chlorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-21-2P, 4-[5-(p-Tolyl)pyridin-3-yl]-1,4-
diazabicyclo[3.3.2]decane 675593-22-3P, 4-[5-(4-
Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-24-5P, 5-(1,4-Diazabicyclo[3.3.2]dec-4-yl)-
[3,4']bipyridinyl 675593-27-8P, (+)-4-(5-Phenylpyridin-3-yl)-1,4-
diazabicyclo[3.3.2]decane 675593-31-4P, (+)-4-(5-Phenylpyridazin-
3-y1)-1,4-diazabicyclo[3.3.2]decane 675593-33-6P,
(+)-4-(6-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.3.2]decane
675593-37-0P, (+)-4-[5-(3-Trifluoromethylphenyl)pyridin-3-yl]-1,4-
diazabicyclo[3.3.2] decane 675593-41-6P, (+)-4-[5-(2-
Trifluoromethylphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-42-7p, (+)-4-[5-(4-Trifluoromethylphenyl)pyridin-3-yl]-1,4-
diazabicyclo[3.3.2]decane 675593-43-8P, (+)-4-[5-(2-
Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-44-9P, (+)-4-[5-(4-Fluorophenyl)pyridin-3-yl]-1,4-
diazabicyclo[3.3.2]decane 675593-48-3P, (+)-4-[5-(2-4)]
Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
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675593-49-4P, (+)-4-[5-(3-Methoxyphenyl)pyridin-3-yl]-1,4-
diazabicyclo[3.3.2]decane 675593-50-7P 675593-54-1P,
(+)-4-[5-(3-Trifluoromethylphenyl)pyridin-2-yl]-1,4-
diazabicyclo[3.3.2] decane 675593-55-2p, (+)-4-[5-(4-
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675593-56-3P, (+)-4-[5-(o-Tolyl)pyridin-2-yl]-1,4-
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Chlorophenyl)pyridin-2-yl]-1,4-diazabicyclo[3.3.2]decane
675593-58-5P, (+)-4-[5-(3-Fluorophenyl)pyridin-2-yl]-1,4-
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675593-60-9p, (+)-4-[5-(2,4-Dichlorophenyl)pyridin-3-yl]-1,4-
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675593-62-1P, (+)-4-[5-(p-Tolyl)pyridin-3-yl]-1,4-
diazabicyclo[3.3.2] decane 675593-63-2P, (+)-4-[5-(4-
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675593-65-4P, (+)-5-(1,4-Diazabicyclo[3.3.2]dec-4-yl)-
[3,4'] bipyridinyl 675593-68-7P, (-)-4-(5-Phenylpyridin-3-yl)-1,4-
diazabicyclo[3.3.2] decane 675593-72-3p, (-)-4-(5-Phenylpyridazin-
3-yl)-1,4-diazabicyclo[3.3.2]decane 675593-74-5P,
(-)-4-(6-Phenylpyridazin-3-yl)-1,4-diazabicyclo[3.3.2]decane
675593-78-9P, (-)-4-[5-(3-Trifluoromethylphenyl)pyridin-3-yl]-1,4-
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675593-83-6p, (-)-4-[5-(4-Trifluoromethylphenyl)pyridin-3-yl]-1,4-
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Fluorophenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-85-8P, (-)-4-[5-(4-Fluorophenyl)pyridin-3-yl]-1,4-
diazabicyclo[3.3.2]decane 675593-89-2P, (-)-4-[5-(2-
Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675593-90-5P, (-)-4-[5-(3-Methoxyphenyl)pyridin-3-yl]-1,4-
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Tolyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane 675593-95-0P,
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675593-97-2P, (-)-4-[5-(o-Tolyl)pyridin-2-yl]-1,4-
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675593-99-4P, (-)-4-[5-(3-Fluorophenyl)pyridin-2-yl]-1,4-
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675594-01-1P, (-)-4-[5-(2,4-Dichlorophenyl)pyridin-3-yl]-1,4-
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675594-03-3P, (-)-4-[5-(p-Tolyl)pyridin-3-yl]-1,4-
diazabicyclo[3.3.2]decane 675594-04-4P, (-)-4-[5-(4-
Methoxyphenyl)pyridin-3-yl]-1,4-diazabicyclo[3.3.2]decane
675594-06-6P, (-)-5-(1,4-Diazabicyclo[3.3.2]dec-4-yl)-
[3,4']bipyridinyl
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
   (drug candidate; preparation of diazabicyclic compds. as nicotinic receptor
   ligands useful in treatment of CNS and other disorders)
675589-83-0 CAPLUS
1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridinyl)- (9CI) (CA INDEX
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RN

CN

NAME)

$$\bigcap_{N} \bigcap_{Ph} \bigcap_{N} \bigcap_{$$

RN 675589-88-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridazinyl)- (9CI) (CA INDEX NAME)

RN 675589-89-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-(6-phenyl-3-pyridazinyl)- (9CI) (CA INDEX NAME)

RN 675589-93-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675589-98-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675590-00-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675590-02-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-fluorophenyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675590-03-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-fluorophenyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675590-08-6 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 675590-09-7 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-methoxyphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 675590-11-1 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 675590-16-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675590-17-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675590-18-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675590-19-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675590-20-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-fluorophenyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675590-21-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675590-23-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2,4-dichlorophenyl)-3-pyridinyl](9CI) (CA INDEX NAME)

RN 675590-25-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675590-27-9 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methylphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 675590-29-1 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 675590-31-5 CAPLUS CN 1,4-Diazabicyclo[3.2.1]octane, 4-[3,4'-bipyridin]-5-yl- (9CI) (CA INDEX NAME)

RN 675590-34-8 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 675590-38-2 CAPLUS CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridazinyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 675590-40-6 CAPLUS CN 1,4-Diazabicyclo[3.2.1]octane, 4-(6-phenyl-3-pyridazinyl)-, (+)- (9CI) (CA INDEX NAME)

RN 675590-44-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 675590-48-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 675590-49-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 675590-50-8 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-fluorop)

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-fluorophenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

RN 675590-51-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-fluorophenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

RN 675590-58-6 CAPLUS CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

RN 675590-59-7 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-methoxyphenyl)-3-pyridinyl]-, (+)(9CI) (CA INDEX NAME)

RN 675590-60-0 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-3-pyridinyl]-, (+)(9CI) (CA INDEX NAME)

Rotation (+).

RN 675590-64-4 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-2-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

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RN 675590-65-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-2-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

RN 675590-66-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-2-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

RN 675590-67-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-2-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

RN 675590-68-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-fluorophenyl)-2-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

RN 675590-69-9 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-3-pyridinyl]-, (+)(9CI) (CA INDEX NAME)

Rotation (+).

RN 675590-70-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2,4-dichlorophenyl)-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

RN 675590-71-3 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-3-pyridinyl]-, (+)(9CI) (CA INDEX NAME)

Rotation (+).

RN 675590-72-4 CAPLUS CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methylphenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

RN 675590-73-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

RN 675590-75-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[3,4'-bipyridin]-5-yl-, (+)- (9CI) (CA INDEX NAME)

RN 675590-78-0 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 675590-84-8 CAPLUS CN 1,4-Diazabicyclo[3.2.1]octane, 4-(5-phenyl-3-pyridazinyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 675590-86-0 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-(6-phenyl-3-pyridazinyl)-, (-)- (9CI)
(CA INDEX NAME)

Rotation (-).

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RN 675590-90-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 675590-94-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 675590-95-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 675590-96-2 CAPLUS CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-fluorophenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

RN 675590-97-3 CAPLUS CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-fluorophenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

RN 675591-01-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

RN 675591-02-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-methoxyphenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

RN 675591-03-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

RN 675591-07-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-[3-(trifluoromethyl)phenyl]-2-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

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RN 675591-08-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-2-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

RN 675591-09-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2-methylphenyl)-2-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

RN 675591-10-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-2-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

RN 675591-11-4 CAPLUS

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CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-fluorophenyl)-2-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

RN 675591-12-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-chlorophenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

RN 675591-13-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(2,4-dichlorophenyl)-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

RN 675591-14-7 CAPLUS CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(3-chlorophenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

RN 675591-15-8 CAPLUS CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methylphenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

RN 675591-16-9 CAPLUS
CN 1,4-Diazabicyclo[3.2.1]octane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]-, (-)(9CI) (CA INDEX NAME)

Rotation (-).

RN 675591-18-1 CAPLUS CN 1,4-Diazabicyclo[3.2.1]octane, 4-[3,4'-bipyridin]-5-yl-, (-)- (9CI) (CA INDEX NAME)

RN 675592-85-5 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-(5-phenyl-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 675592-89-9 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-(5-phenyl-3-pyridazinyl)- (9CI) (CA INDEX NAME)

RN 675592-91-3 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-(6-phenyl-3-pyridazinyl)- (9CI) (CA INDEX NAME)

RN 675592-95-7 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675592-99-1 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675593-00-7 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675593-01-8 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-fluorophenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 675593-02-9 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-fluorophenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 675593-06-3 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 675593-07-4 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-methoxyphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 675593-08-5 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methylphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 675593-13-2 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[3-(trifluoromethyl)phenyl]-2pyridinyl]- (9CI) (CA INDEX NAME)

RN 675593-14-3 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-chlorophenyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675593-15-4 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methylphenyl)-2-pyridinyl]- (9CI)
(CA INDEX NAME)

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RN 675593-16-5 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-chlorophenyl)-2-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 675593-17-6 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-fluorophenyl)-2-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 675593-18-7 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-chlorophenyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675593-19-8 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2,4-dichlorophenyl)-3-pyridinyl](9CI) (CA INDEX NAME)

RN 675593-20-1 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-chlorophenyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675593-21-2 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-methylphenyl)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 675593-22-3 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]- (9CI)
(CA INDEX NAME)

RN 675593-24-5 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[3,4'-bipyridin]-5-yl- (9CI) (CA INDEX NAME)

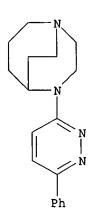
RN 675593-27-8 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-(5-phenyl-3-pyridinyl)-, (+)- (9CI) (CA INDEX NAME)

RN 675593-31-4 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-(5-phenyl-3-pyridazinyl)-, (+)- (9CI)
(CA INDEX NAME)

Rotation (+).

RN 675593-33-6 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-(6-phenyl-3-pyridazinyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 675593-37-0 CAPLUS

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CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 675593-41-6 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

RN 675593-42-7 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

RN 675593-43-8 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-fluorophenyl)-3-pyridinyl]-, (+)(9CI) (CA INDEX NAME)

Rotation (+).

RN 675593-44-9 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-fluorophenyl)-3-pyridinyl]-, (+)(9CI) (CA INDEX NAME)

RN 675593-48-3 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).

RN 675593-49-4 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-methoxyphenyl)-3-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

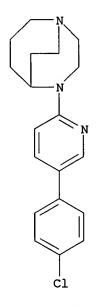
RN 675593-50-7 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methylphenyl)-3-pyridinyl]-, (+)(9CI) (CA INDEX NAME)

Rotation (+).

RN 675593-54-1 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[3-(trifluoromethyl)phenyl]-2pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

RN 675593-55-2 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-chlorophenyl)-2-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

Rotation (+).



RN 675593-56-3 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methylphenyl)-2-pyridinyl]-, (+)(9CI) (CA INDEX NAME)

RN 675593-57-4 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-chlorophenyl)-2-pyridinyl]-, (+)(9CI) (CA INDEX NAME)

Rotation (+).

RN 675593-58-5 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-fluorophenyl)-2-pyridinyl]-, (+)-(9CI) (CA INDEX NAME)

RN 675593-59-6 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-chlorophenyl)-3-pyridinyl]-, (+)(9CI) (CA INDEX NAME)

Rotation (+).

RN 675593-60-9 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2,4-dichlorophenyl)-3-pyridinyl]-, (+)- (9CI) (CA INDEX NAME)

RN 675593-61-0 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-chlorophenyl)-3-pyridinyl]-, (+)(9CI) (CA INDEX NAME)

Rotation (+).

RN 675593-62-1 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-methylphenyl)-3-pyridinyl]-, (+)(9CI) (CA INDEX NAME)

RN 675593-63-2 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-methoxyphenyl)-3-pyridinyl}-, (+)(9CI) (CA INDEX NAME)

Rotation (+).

RN 675593-65-4 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-[3,4'-bipyridin]-5-yl-, (+)- (9CI) (CA INDEX NAME)

RN 675593-68-7 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-(5-phenyl-3-pyridinyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 675593-72-3 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-(5-phenyl-3-pyridazinyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 675593-74-5 CAPLUS

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CN 1,4-Diazabicyclo[3.3.2]decane, 4-(6-phenyl-3-pyridazinyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 675593-78-9 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 675593-82-5 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[2-(trifluoromethyl)phenyl]-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

RN 675593-83-6 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[4-(trifluoromethyl)phenyl]-3-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 675593-84-7 CAPLUS

CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-fluorophenyl)-3-pyridinyl]-, (-)(9CI) (CA INDEX NAME)

RN 675593-85-8 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-fluorophenyl)-3-pyridinyl]-, (-)(9CI) (CA INDEX NAME)

Rotation (-).

RN 675593-89-2 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methoxyphenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

RN 675593-90-5 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-methoxyphenyl)-3-pyridinyl]-, (-)(9CI) (CA INDEX NAME)

Rotation (-).

RN 675593-91-6 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methylphenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

RN 675593-95-0 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-[3-(trifluoromethyl)phenyl]-2-pyridinyl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 675593-96-1 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-chlorophenyl)-2-pyridinyl]-, (-)(9CI) (CA INDEX NAME)

RN 675593-97-2 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2-methylphenyl)-2-pyridinyl]-, (-)(9CI) (CA INDEX NAME)

Rotation (-).

RN 675593-98-3 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-chlorophenyl)-2-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

RN 675593-99-4 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-fluorophenyl)-2-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

Rotation (-).

RN 675594-00-0 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-chlorophenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

RN 675594-01-1 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(2,4-dichlorophenyl)-3-pyridinyl]-,
(-)- (9CI) (CA INDEX NAME)

Rotation (-).

RN 675594-02-2 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(3-chlorophenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

RN 675594-03-3 CAPLUS
CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-methylphenyl)-3-pyridinyl]-, (-)(9CI) (CA INDEX NAME)

Rotation (-).

RN 675594-04-4 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]-, (-)-(9CI) (CA INDEX NAME)

RN 675594-06-6 CAPLUS CN 1,4-Diazabicyclo[3.3.2]decane, 4-[3,4'-bipyridin]-5-yl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 8 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

2003:417754 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

138:401768

TITLE:

4-(Oxazolopyridin-2-yl)-1,4-diazabicyclo[3.2.2]nonane

derivatives as nicotinic  $\alpha 7$  ligands

INVENTOR(S):

Galli, Frederic; Leclerc, Odile; Lochead, Alistair

PATENT ASSIGNEE(S): SOURCE:

Sanofi-Synthelabo, Fr. PCT Int. Appl., 16 pp.

CODEN: PIXXD2

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FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.						DATE		APPLICATION NO.									
WO	WO 2003044024				A1				WO 2002-FR3978									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
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		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
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		KG,	KZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
		FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	
		•		•	•			•	•		NE,	-	•					
									FR 2001-15152					20011123				
	2832																	
	AU 2002356251																	
EP	EP 1451197																	
	R:	•	•	•	•	•	•	•	•	•	IT,	•	•	•	•	MC,	PT,	
		•	•	•	•	•	•	•			TR,			•				
	JP 2005509681							JP 2003-545661										
								US 2004-495936										
PRIORITY APPLN. INFO.:										001-								
										WO 2	002-	FR39	78	1	W 2	0021	120	
OTHER S	OTHER SOURCE(S):						MARPAT 138:401768											

Title compds. I [R = Cl, Me, 3-thienyl] were prepared for use as nicotinic AΒ  $\alpha$ 7 ligands, active in the 0.6-10 $\mu$ M range. Thus, I [R = 3-thienyl] was prepared by treating I [R = Br] with 3-thienylboronic acid.

Ι

ΙT 532396-97-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

GΙ

# 10/528,361

(preparation of 4-(oxazolopyridin-2-yl)-1,4-diazabicyclo[3.2.2]nonane derivs. as nicotinic  $\alpha$ 7 ligands) 532396-97-7 CAPLUS

RN

1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(3-thienyl)oxazolo[4,5-b]pyridin-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME) CN

•2 HBr

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L10 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:417750 CAPLUS

DOCUMENT NUMBER:

138:401767

TITLE:

4-(1,3,4-Thiadiazol-2-yl)-1,4-

diazabicyclo[3.2.2] nonane derivatives as nicotinic

 $\alpha$ 7 receptor ligands

INVENTOR(S):

Galli, Frederic; Leclerc, Odile; Lochead, Alistair

PATENT ASSIGNEE(S): SOURCE:

Sanofi-Synthelabo, Fr. PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'							KIND DATE				APPLICATION NO.						DATE			
WO										WO 2002-FR3986					20021121					
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB	, BG,	BR,	BY,	BZ,	CA,	CH,	CN,			
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE,	ES,	FI,	GB,	GD,	GE,	GH,			
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	, KG,	KP,	KR,	KZ,	LC,	LK,	LR,			
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW,	MX,	MZ,	NO,	ΝZ,	OM,	PH,			
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SI	, SK,	SL,	ТJ,	TM,	TN,	TR,	TT,			
		TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	ΥU,	ZA	, ZM,	ZW								
	RW:										, TZ,									
		KG,	KZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG	, CH,	CY,	CZ,	DE,	DK,	EE,	ES,			
											, PT,					ВJ,	CF,			
		CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR	, NE,	SN,	TD,	TG						
	FR 2832713										2001-	1515	20011123							
	FR 2832713																			
	AU 2002356256																			
EP	EP 1451189				<b>A</b> 1		2004	0901	EP 2002-803453						20021121					
EP	1451189																			
	R:	•	•	•	•	•	•		•		, IT,			-	-	MC,	PT,			
											, TR,									
JP	JP 2005509679						2005	0414	JP 2003-545657						20021121					
											AT 2002-803453									
									US 2004-495935						20040518					
US	US 6998399						2006	0214												
PRIORIT	RIORITY APPLN. INFO.:										2001-									
										WO	2002-	FR39	86	1	W 2	0021	121			
OTHER S	HER SOURCE(S):						138:	4017	67											

$$N-N$$
 $R$ 
 $R$ 

AB Title compds. I [R = (un)substituted Ph, pyridinyl, thienyl, pyrazinyl] were prepared as nicotinic receptor ligands, useful for treating or preventing disorders related to nicotinic receptor dysfunction, in

```
particular in the central nervous system. I are active in the 0.001-0.5
     \mu M range. I [R = Ph] was prepared by treating 1,4-
    diazabicyclo[3.2.2] nonane with 2-bromo-5-phenyl-1,3,4-thiadiazole.
IT
     532400-66-1P 532400-67-2P 532400-68-3P
     532400-69-4P 532400-70-7P 532400-71-8P
     532400-72-9P 532400-73-0P 532400-74-1P
     532400-75-2P 532400-76-3P 532400-77-4P
     532400-78-5P 532400-79-6P 532400-80-9P
     532400-81-0P 532400-82-1P 532400-83-2P
     532400-84-3P 532400-85-4P 532400-86-5P
     532400-87-6P 532400-88-7P 532400-89-8P
     532400-90-1P 532400-91-2P 532400-92-3P
     532400-93-4P 532400-94-5P 532400-95-6P
     532400-96-7P 532400-97-8P 532400-98-9P
     532400-99-0P 532401-00-6P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of 4-(1,3,4-thiadiazol-2-yl)-1,4-diazabicyclo[3.2.2]nonane
        derivs. as nicotinic \alpha7 receptor ligands)
RN
     532400-66-1 CAPLUS
     1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-1,3,4-thiadiazol-2-yl)-,
CN
     dihydrobromide (9CI) (CA INDEX NAME)
```

RN 532400-67-2 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methylphenyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

RN 532400-68-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-fluorophenyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

#### •2 HBr

RN 532400-69-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[3-(trifluoromethyl)phenyl]-1,3,4-thiadiazol-2-yl]-, trihydrobromide (9CI) (CA INDEX NAME)

#### ●3 HBr

RN 532400-70-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-bromo-2-thienyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

### •2 HBr

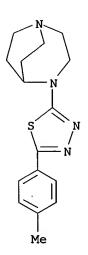
RN 532400-71-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-pyrazinyl-1,3,4-thiadiazol-2-yl)-, trihydrobromide (9CI) (CA INDEX NAME)

●3 HBr

RN 532400-72-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methylphenyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)



•2 HBr

RN 532400-73-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-pyridinyl)-1,3,4-thiadiazol-2-yl]-, trihydrobromide (9CI) (CA INDEX NAME)

●3 HBr

RN 532400-74-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-nitrophenyl)-1,3,4-thiadiazol-2-yl](9CI) (CA INDEX NAME)

RN 532400-75-2 CAPLUS

CN Benzenamine, 3-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-thiadiazol-2-yl]-(9CI) (CA INDEX NAME)

RN 532400-76-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[3-(trifluoromethoxy)phenyl]-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

•2 HBr

RN 532400-77-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3,4-dimethylphenyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 532400-78-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3-benzodioxol-5-yl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 532400-79-6 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-chlorophenyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

RN 532400-80-9 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[2-nitro-4-(trifluoromethyl)phenyl]1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

•2 HBr

RN 532400-82-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2,6-difluorophenyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

# ●2 HBr

RN 532400-83-2 CAPLUS

CN Benzenamine, 4-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,3,4-thiadiazol-2-yl]-N,N-dimethyl-(9CI) (CA INDEX NAME)

RN 532400-85-4 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-thienyl)-1,3,4-thiadiazol-2-yl]-,
monohydrobromide (9CI) (CA INDEX NAME)

RN 532400-86-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2,4-dimethoxy-5-pyrimidinyl)-1,3,4-thiadiazol-2-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

HBr

RN 532400-87-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-benzofuranyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

RN 532400-88-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-phenoxathiinyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

# ●2 HBr

RN 532400-89-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(5-methyl-2-thienyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

## ●2 HBr

RN

532400-90-1 CAPLUS 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methyl-2-thienyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME) CN

### •2 HBr

532400-91-2 CAPLUS RN

1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridinyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME) CN

●2 HBr

RN 532400-92-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-furanyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

●2 HBr

RN 532400-93-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3,5-dimethyl-4-isoxazolyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

RN 532400-94-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methoxy-3-pyridinyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

### •2 HBr

RN 532400-95-6 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-benzo[b]thien-2-yl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 532400-96-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-dibenzothienyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 532400-97-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-dibenzofuranyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

•2 HBr

RN 532400-98-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1H-pyrrol-2-yl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

### ●2 HBr

RN 532400-99-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methoxyphenyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

#### •2 HBr

RN 532401-00-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-fluorophenyl)-1,3,4-thiadiazol-2-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

IT 532401-17-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 4-(1,3,4-thiadiazol-2-yl)-1,4-diazabicyclo[3.2.2]nonane
derivs. as nicotinic α7 receptor ligands)
532401-17-5 CAPLUS

RN

1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-phenoxathiinyl)-1,3,4-thiadiazol-2-CN yl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS 4 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 10/528,361

ANSWER 10 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

AGESSION NUMBER: 2003:417749 CAPLUS

DOCUMENT NUMBER: 138:401766

TITLE: 4-(1,2,4-Oxadiazol-3-yl)-1,4-diazabicyclo[3.2.2] nonane

derivatives as nicotinic  $\alpha 7$  receptor ligands

INVENTOR(S): Galli, Frederic; Leclerc, Odile; Lochead, Alistair

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr. SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.						KIND DATE				ICAT		DATE					
WO	WO 2003044019					A1 20030530							20021121					
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
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		KG,	KZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CÝ,	CZ,	DE,	DK,	EE,	ES,	
		FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	
		CG,									NE,							
FR	FR 2832712					A1 20030530				FR 2	001-	1515	20011123					
FR	FR 2832712						2004	0213										
AU	AU 2002361326					A1 20030610				AU 2	002-	3613	20021121					
EP	EP 1451188						2004	0901		EP 2	002-	7968	20021121					
EP	EP 1451188					B1 20050309												
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		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK			
													20021121					
JP							T2 20050421			JP 2003-545656								
บร	US 2004266757						A1 20041230			US 2004-495891								
PRIORIT	RIORITY APPLN. INFO.:									FR 2	001-	1515	3		A 2	0011	123	
										WO 2	002-	FR39	85	1	W 2	0021	121	
OTHER S	THER SOURCE(S):						MARPAT 138:401766									•		

$$N-0$$
 $N$ 
 $N$ 
 $R$ 

AB Title compds. I [R = (un) substituted Ph, pyridinyl, thienyl] were prepared for use as nicotinic  $\alpha 7$  receptor ligands, active in the 0.007-0.30  $\mu m$  range. Thus, I [R = Ph] was obtained by treating 1,4-diazabicyclo[3.2.2] nonane with 3-bromo-5-phenyl-1,2,4-oxadiazole.

IT 532410-89-2P 532410-90-5P 532410-91-6P

Ι

GΙ

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532410-92-7P 532410-93-8P 532410-94-9P
     532410-95-0P 532410-96-1P 532410-97-2P
     532410-98-3P 532410-99-4P 532411-00-0P
     532411-01-1P 532411-02-2P 532411-03-3P
     532411-05-5P 532411-06-6P 532411-07-7P
     532411-08-8P 532411-09-9P 532411-10-2P
     532411-11-3P 532411-12-4P 532411-13-5P
     532411-14-6P 532411-15-7P 532411-16-8P
     532411-17-9P 532411-18-0P 532411-19-1P
     532411-20-4P 532411-21-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of 4-(1,2,4-oxadiazol-3-yl)-1,4-diazabicyclo[3.2.2]nonane
        derivs. as nicotinic \alpha7 receptor ligands)
RN
     532410-89-2 CAPLUS
     1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-1,2,4-oxadiazol-3-yl)-,
CN
     dihydrobromide (9CI) (CA INDEX NAME)
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RN 532410-90-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methylphenyl)-1,2,4-oxadiazol-3-yl]-
, monohydrobromide (9CI) (CA INDEX NAME)
```

RN 532410-91-6 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-fluorophenyl)-1,2,4-oxadiazol-3-yl], monohydrobromide (9CI) (CA INDEX NAME)

#### HBr

RN 532410-92-7 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[3-(trifluoromethyl)phenyl]-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

RN 532410-93-8 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methoxyphenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

# • HBr

RN 532410-94-9 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl], monohydrobromide (9CI) (CA INDEX NAME)

RN 532410-95-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[3-(trifluoromethoxy)phenyl]-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

HBr

RN 532410-96-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridinyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

### HBr

RN 532410-98-3 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3-benzodioxol-5-yl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

RN 532410-99-4 CAPLUS

CN Benzenamine, 4-[3-(1,4-diazabicyclo[3.2.2]non-4-yl)-1,2,4-oxadiazol-5-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

## ●2 HBr

RN 532411-00-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-bromophenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

RN 532411-01-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methyl-2-thienyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

## • HBr

RN 532411-02-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-pyridinyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

RN 532411-03-3 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methoxyphenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

### • HBr

RN 532411-05-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-piperazinyl)-1,2,4-oxadiazol-3-yl], monohydrobromide (9CI) (CA INDEX NAME)

RN 532411-06-6 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[5-methyl-2-(trifluoromethyl)-3-furanyl]-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

### HBr

RN 532411-07-7 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-bromo-2-thienyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

RN 532411-08-8 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methoxy-3-thienyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

### • HBr

RN 532411-09-9 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(6-methyl-3-pyridinyl)-1,2,4-oxadiazol-3-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

RN 532411-10-2 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1-naphthalenyl)-1,2,4-oxadiazol-3-yl], monohydrobromide (9CI) (CA INDEX NAME)

### • HBr

RN 532411-11-3 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methylphenyl)-1,2,4-oxadiazol-3-yl], monohydrobromide (9CI) (CA INDEX NAME)

### ● HBr

RN 532411-12-4 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-furanyl)-1,2,4-oxadiazol-3-yl]-,
monohydrobromide (9CI) (CA INDEX NAME)

## HBr

RN 532411-13-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-benzo[b]thien-2-yl-1,2,4-oxadiazol-3-yl)-, monohydrobromide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
S & N & N \\
O & N
\end{array}$$

RN 532411-14-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[5-(trifluoromethyl)-2-furanyl]-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

• HBr

RN 532411-15-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-chloro-4-pyridinyl)-1,2,4-oxadiazol-3-yl]-, dihydrobromide (9CI) (CA INDEX NAME)

RN

532411-16-8 CAPLUS
1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(6-chloro-3-pyridinyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME) CN

### ● HBr

RN532411-17-9 CAPLUS

1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-nitrophenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME) CN

10/528,361

# HBr

RN 532411-18-0 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2,4-dichlorophenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

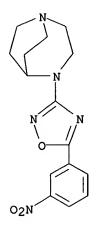
### • HBr

RN 532411-19-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3,4-dichlorophenyl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

#### HBr

RN 532411-20-4 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-nitrophenyl)-1,2,4-oxadiazol-3-yl], monohydrobromide (9CI) (CA INDEX NAME)



## HBr

RN 532411-21-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-chlorobenzo[b]thien-2-yl)-1,2,4-oxadiazol-3-yl]-, monohydrobromide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & N \\
 & N \\
 & N \\
 & N
\end{array}$$

• HBr

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:503385 CAPLUS

DOCUMENT NUMBER: 137:63263

TITLE: Preparation of diazabicycloalkanes as CNS-penetrant

a7 nicotinic receptor agonists.

INVENTOR(S): Coe, Jotham Wadsworth; O'Donnell, Christopher John;

O'Neill, Brian Thomas

Pfizer Products Inc., USA PATENT ASSIGNEE(S): SOURCE:

Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	ENT	NO.			KIN	D	DATE	E		APPLICATION NO.							DATE			
	EP	1219	622			A2 20020703			EP 2001-310270								20011207				
	ΕP	1219	622			A3 20030312			30312												
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	₹, I	Т,	LI,	LU,	NL,	SE	E, M	C,	PT,	
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑI	, T	'R								
•	US	2002	0868	71		<b>A1</b>		2002	20704	US 2001-47850								20011023			
	JΡ	2002	2559	65		A2		20020911 JP 2001-387127									20011220				
	JP	3591	777			B2		2004	11124												
	CA	2366	268			AA		2002	20629		CA	200	1-2	23662	268			200	112	227	
	BR	2001	0064	62		Α		2002	20924		BR	200	1-6	5462				200	112	228	
	US	2003	1198	37		A1		2003	30626		US	200	2-2	2294	47			200	208	328	
	US	6809	094			В2		2004	11026												
	US	2004	2044	16		A1		2004	11014		US	200	4-8	3337	14			200	404	127	
	US	6881	734			В2		2005	50419												
	US	2005	1767	20		A1		2005	50811		US	200	5-1	L067	78			200	504	115	
PRIOR	ITY	APP	LN.	INFO	. :						US	200	0-2	2587	36P		P	200	012	229	
											US	200	1-4	1785	0		В1	200	110	023	
											US	200	2-2	2294	47		<b>A</b> 1	200	208	328	
											US	200	4-8	3337	14		A1	200	404	127	

OTHER SOURCE(S): MARPAT 137:63263

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AB Title compds. [I; m, n, o = 1-2; A = O, S, NR1; B = N, CR2; Q = N, CR3; D = N, CR4; E = N, CR5; R1 = H, alkyl, CO2R6, CH2R6, CONR6R7, COR6, SO2R6; R2-R5 = F, Cl, Br, iodo, NO2, cyano, CF3, NR6R7, NR6COR7, NR6CONR7R8, NR6CO2R7, NR6SO2R7, NR6SO2NR7R8, OR6, O2CR6, OCO2R6, O2CNR6R7, O2CSR6, CO2R6, COR6, CONR6R7, SR6, SOR6, SO2R6, SO2NR6R7, R6; R6-R8 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocycloalkyl, bicycloalkenyl, heterobicycloalkyl, heterobicycloalkenyl,

aryl, heteroaryl; R6-R8 are optionally substituted with 1-6 F, Cl, Br, iodo, NO2, cyano, CF3, NR9R10, NR9COR10, NR9CONR10R11, NR9CO2R10, NR9SO2R10, NR9SO2NR101R11, OR9, O2CR9, O2COR9, O2CNR9R10, O2CSR9, CO2R9, COR9, CONR9R10, SR9, SOR9, SO2R9, SO2NR9R10, R9; R9-R11 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocycloalkyl, bicycloalkyl, bicycloalkenyl, heterobicycloalkyl, heterobicycloalkenyl, aryl, heteroaryl; R9-R11 is optionally substituted with 1-6 F, Cl, Br, iodo, NO2, cyano, CF3, NR12R13, NR12COR13, NR12CONR13R14, NR12CO2R13, NR12SO2R13, NR12SO2NR13R14, OR12, O2CR12, O2COR12, OCONR12R13, O2CSR12, CO2R12, COR12, CONR12R13, SR12, SOR12, SO2R12, SO2NR12R13, R12; R12-R14 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocycloalkyl, bicycloalkyl, bicycloalkenyl, heterobicycloalkyl, heterobicycloalkenyl, aryl, heteroaryl; R2R3, R3R4, R4R5 may form a 6-membered aromatic or heteroarom. ring], were prepared Thus, 2-chlorobenzoxazole and 1,4-diazabicyclo[3.2.2] nonane were stirred in MeOH at 0° to room temperature; after 16 h (Me2CH) 2NEt was added and the mixture was stirred a further 4.5 h to give 35% 4-benzoxazol-2-yl-1,4-bicyclo[3.2.2]nonane. In an assay involving [1251]-bungarotoxin binding to nicotinic receptors in GH4Cl cells, I showed IC50<10 µM.

IT 439607-89-3P 439607-96-2P 439608-07-8P 439608-16-9P 439608-17-0P 439608-18-1P 439608-19-2P 439608-20-5P 439608-21-6P 439608-22-7P 439608-24-9P 439608-36-3P 439608-40-9P 439608-50-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diazabicycloalkanes as CNS-penetrant  $\alpha 7$  nicotinic receptor agonists)

RN 439607-89-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-2-benzoxazolyl)- (9CI) (CA INDEX NAME)

RN 439607-96-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenyl-2-benzoxazolyl)- (9CI) (CA INDEX NAME)

RN 439608-07-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridinyl)-2-benzoxazolyl]- (9CI)

#### (CA INDEX NAME)

RN 439608-16-9 CAPLUS

CN 5-Benzoxazolamine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-N-(phenylmethyl)-(9CI) (CA INDEX NAME)

111 0112 1111

RN 439608-17-0 CAPLUS

CN 5-Benzoxazolamine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-N-[(2E)-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 439608-18-1 CAPLUS

CN 5-Benzoxazolamine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 439608-19-2 CAPLUS

CN 5-Benzoxazolamine, 2-(1,4-diazabicyclo[3.2.2]non-4-yl)-N,N-bis(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 439608-20-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methylphenyl)-2-benzoxazolyl]-(9CI) (CA INDEX NAME)

RN 439608-21-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyloxazolo[5,4-b]pyridin-2-yl)-(9CI) (CA INDEX NAME)

RN 439608-22-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[4-(trifluoromethyl)phenyl]-2-benzoxazolyl]- (9CI) (CA INDEX NAME)

RN 439608-24-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenyloxazolo[4,5-b]pyridin-2-yl)-(9CI) (CA INDEX NAME)

RN 439608-36-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-2-benzoxazolyl)-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

RN 439608-40-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenyl-2-benzoxazolyl)-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

RN 439608-50-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-pyridinyl)-2-benzoxazolyl]-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

O ANSWER 12 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:886122 CAPLUS

DOCUMENT NUMBER: 136:6021

TITLE: 1,4-Diazabicyclo[3.2.2]nonylbenzoxazole,

-benzothiazole and -benzimidazole derivatives as

selective nicotinic  $\alpha 7$  antagonists

INVENTOR(S):

Galli, Frederic; Lochead, Alistair; Samson, Axelle

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr. SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent French

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P.F					KIND DATE						DATE						
WC					A1 20011206			,		001-				2	0010	529	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,
							AM,										
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
	FR 2809730									FR 2	000-	6975			2	0000	531
	R 2809													•			
					A1 20030312					EP 2	001-	9383	63		2	0010	529
El	2 1289	988			В1		2004	0519									
	R:						ES,					LI,	LU,	NL,	SE,	MC,	PT,
							RO,										
	2003																
A:	r 2672	02			E		2004	0615		AT 2	001-	9383	63		2	0010	529
T	v 5413	07			В		2003	0711		TW 2	001-	9011	3060		2	0010	530
បះ	5 2003	1535	74		A1		2003	0814		US 2	003-	2766	46		2	0030	224
PRIORI	PRIORITY APPLN. INFO.:										000-						
										WO 2	001-	FR16	51		W 2	0010	529
OTHER :	OTHER SOURCE(S):						136:	6021									
GI																	

$$\begin{array}{c|c}
R^1 \\
R^2 \\
R^3
\end{array}$$

AB Title compds. I [X = O, S, NH; R1-R4 = H, halogen, NO2, amino, CF3,

CN

trifluoroalkoxy, CN, OH, alkyl, alkoxy, Ph] were prepared for use as selctive nicotinic  $\alpha 7$  antagonists with IC50 0.021-0.125  $\mu$ M. Thus, 1,4-diazabicyclo[3.2.2]nonane was treated with 2-chlorobenzoxazole to give I [X = O, R1-R4 = H].

IT 376354-21-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,4-diazabicyclo[3.2.2]nonylbenzoxazole, -benzothiazole and -benzimidazole derivs. as selective nicotinic  $\alpha$ 7 antagonists)

RN 376354-21-1 CAPLUS

1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-2-benzoxazolyl)-, dihydrobromide (9CI) (CA INDEX NAME)

•2 HBr

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:886121 CAPLUS

DOCUMENT NUMBER: 136:6022

TITLE: 4-(2-Phenylthiazol-5-yl)-1,4-diazabicyclo[3.2.2]nonane

derivatives as selective nicotinic  $\alpha$ 7 receptor

antagonists

INVENTOR(S): Gallet, Thierry; Galli, Frederic; Leclerc, Odile;

Lochead, Alistair

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr. SOURCE: PCT Int. Appl., 21 pp.

SOURCE: PCT Int. Appl., CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

					KIND DATE			APPLICATION NO.										
	2001						2001	1206								0010	529	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	
		UZ,	VN,	YU,	ZA,	ZW												
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
											MR,							
FR	2809			A1		2001	1207		FR 2	2000-	6978			2	0000	531		
	2809																	
EP	1289	987			A1	2003	0312		EP 2	2001-	9383	62		2	0010	529		
EP	1289																	
	R:	-	-	-	-	-	-				IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			•	•		•	RO,											
	2003													0010				
AT	2672	01			Ē		2004	0615		AT 2	2001-		2	0010				
TW	5910	28			В		2004	0611		TW 2	2001-	9011	3055		2	0010		
	2004									US 2	2002-	2768	22		2	0021	118	
	7001				В2		2006	0221					_					
PRIORIT	IORITY APPLN. INFO.:										2000-							
										WO 2	2001-	FR16	50	1	₩ 2	0010	529	
OTHER S	HER SOURCE(S):					MARPAT 136:6022												

$$R^{1}$$
 $R^{2}$ 
 $R^{3}$ 
 $R^{3}$ 
 $R^{4}$ 

GI

Title compds. I [R1-R5 = H, halogen, NO2, amino, CF3, trifluoroalkoxy, AB CN,OH, alkyl, alkoxy] were prepared for use as selective nicotinic  $\alpha$ 7 receptor antagonists with IC50 0.02-0.50 μM. Thus, N-benzoylglycine was treated with carbonyldiimidazole and 1,4-diazabicyclo[3.2.2]nonane, followed by cyclization with Lawesson's reagent to give I [R1-R5 = H]. IT 376391-66-1P 376391-67-2P 376391-68-3P 376391-69-4P 376391-70-7P 376391-72-9P 376391-74-1P 376391-76-3P 376391-78-5P 376391-80-9P 376391-82-1P 376391-84-3P 376391-86-5P 376391-88-7P 376391-90-1P 376391-92-3P 376391-94-5P 376391-96-7P 376391-98-9P 376392-43-7P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 4-(2-phenylthiazol-5-yl)-1,4-diazabicyclo[3.2.2]nonane derivs. as selective nicotinic  $\alpha$ 7 receptor antagonists) RN 376391-66-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(2-phenyl-5-thiazolyl)-, dihydrobromide (9CI) (CA INDEX NAME)

### •2 HBr

RN 376391-67-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(4-methylphenyl)-5-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)

### • HBr

RN 376391-68-3 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(3-methylphenyl)-5-thiazolyl]-,
monohydrobromide (9CI) (CA INDEX NAME)

# HBr

### • HBr

### •2 HBr

CMF C17 H21 N3 O S

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 376391-74-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(3-methoxyphenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-73-0 CMF C17 H21 N3 O S

CM 2

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10/528,361
```

CRN 144-62-7 CMF C2 H2 O4

RN 376391-76-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(2-bromophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-75-2 CMF C16 H18 Br N3 S

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 376391-78-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(2,5-difluorophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-77-4 CMF C16 H17 F2 N3 S

CRN 144-62-7 CMF C2 H2 O4

RN 376391-80-9 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-79-6 CMF C17 H18 F3 N3 S

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10/528,361
```

CRN 144-62-7 CMF C2 H2 O4

RN 376391-82-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(2-iodophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-81-0 CMF C16 H18 I N3 S

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 376391-84-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-[4-(trifluoromethoxy)phenyl]-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-83-2

CMF C17 H18 F3 N3 O S

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 376391-86-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(4-bromophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-85-4 CMF C16 H18 Br N3 S

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10/528,361
```

CRN 144-62-7 CMF C2 H2 O4

RN 376391-88-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(3,4-dimethoxyphenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-87-6 CMF C18 H23 N3 O2 S

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 376391-90-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(3,4-difluorophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-89-8 CMF C16 H17 F2 N3 S

CRN 144-62-7 CMF C2 H2 O4

376391-92-3 CAPLUS RN CN

1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(2,3-dichlorophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

 $\mathsf{CM}$ 1

CRN 376391-91-2 CMF C16 H17 C12 N3 S

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10/528,361
```

CRN 144-62-7 CMF C2 H2 O4

RN 376391-94-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(3,5-dichlorophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-93-4

CMF C16 H17 C12 N3 S

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 376391-96-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(3,5-dimethoxyphenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-95-6 CMF C18 H23 N3 O2 S

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 376391-98-9 CAPLUS

CN Phenol, 2-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-2-thiazolyl]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 376391-97-8 CMF C16 H19 N3 O S

CM 2

CRN 144-62-7

CMF C2 H2 O4

RN 376392-43-7 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[2-(3-bromophenyl)-5-thiazolyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 376392-42-6 CMF C16 H18 Br N3 S

CM 2

CRN 144-62-7 CMF C2 H2 O4

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Q ANSWER 14 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:886119 CAPLUS

DOCUMENT NUMBER: 136:6020

TITLE: 1,4-Diazabicyclo[3.2.2]nonane-phenylisoxazole

derivatives: preparation and therapeutic use

INVENTOR(S): Galli, Frederic; Leclerc, Odile; Lochead, Alistair

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr. SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.						KIND DATE			APPL	ICAT	DATE					
WO	2001	0922	59		A1 20011206			1	WO 2	001-	FR16	49		2	0010	529	
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,
		UZ,	VN,	ΥU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM		
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
FR	FR 2809731						2001	1207		FR 2	000-	6977			2	0000	531
	2809																
EP	1289	986			A1		2003	0312		EP 2	001-	9383	61		2	0010	529
EP	1289	986			В1		2004	0428									
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
JP	2003	5350	89		Т2		2003	1125		JP 2	002-	5008	72		2	0010	529
AT	2654	54			E		2004	0515		AT 2	001-	9383	61		2	0010	529
US	2003	1144	61		A1		2003	0619		US 2	002-	2766	47		2	0021	118
	6844																
RIORIT	ORITY APPLN. INFO.:									FR 2	000-	6977			A 2	0000	531
											001-					0010	
THER SO	ER SOURCE(S):					TAS	136:	6020									
ï																	

AB Title compds. I (R1, R2, R3, R4, R5 = H, alkyl, alkoxy, Ph, halo, NO2, NH2, etc.; R6 = H, alkyl) were prepared and tested as ligands for nicotinic receptors. Thus, I (R1, R3, R4, R5, R6 = H, R2 = Me)·2HBr was prepared in 3 steps starting from 1-(3-methylphenyl)-3,3-bis(methylthio)-2-

propen-1-one, which was obtained from 3'-methylacetophenone, CS2, and MeI. In tests with rat nicotinic receptors, I showed IC50 values of 0.02-0.5  $\mu M$  for  $\alpha 7$  vs. 10  $\mu M$  for  $\alpha 4\beta 2$ . IT 375812-09-2P 375812-10-5P 375812-12-7P 375812-13-8P 375812-14-9P 375812-16-1P 375812-18-3P 375812-19-4P 375812-21-8P 375812-23-0P 375812-25-2P 375812-27-4P 375812-29-6P 375812-31-0P 375812-32-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES ((1,4-diazabicyclo[3.2.2]nonanyl)phenylisoxazole derivs. as nicotinic receptor ligands) RN 375812-09-2 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-3-isoxazolyl)-, dihydrobromide (9CI) (CA INDEX NAME)

### •2 HBr

RN 375812-10-5 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-chlorophenyl)-3-isoxazolyl]-,
monohydrobromide (9CI) (CA INDEX NAME)

### HBr

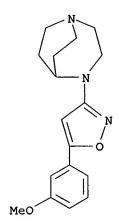
RN 375812-12-7 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[3-(trifluoromethyl)phenyl]-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

## •2 HBr

RN 375812-13-8 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methylphenyl)-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

### •2 HBr

RN 375812-14-9 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(3-methoxyphenyl)-3-isoxazolyl]-,
monohydrobromide (9CI) (CA INDEX NAME)



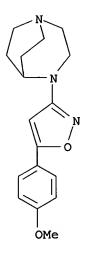
### HBr

RN 375812-16-1 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-bromophenyl)-3-isoxazolyl]- (9CI)
(CA INDEX NAME)

•2 HBr

RN 375812-19-4 CAPLUS CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-methylphenyl)-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

## •2 HBr



### •2 HBr

RN 375812-23-0 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methylphenyl)-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

#### •2 HBr

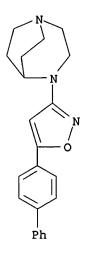
RN 375812-25-2 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[3-(trifluoromethoxy)phenyl]-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

## •2 HBr

RN 375812-27-4 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-methoxyphenyl)-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

## ●2 HBr

RN 375812-29-6 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-[1,1'-biphenyl]-4-yl-3-isoxazolyl)-,
dihydrobromide (9CI) (CA INDEX NAME)



## ●2 HBr

RN 375812-31-0 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2,6-dimethoxyphenyl)-3-isoxazolyl]-,
dihydrobromide (9CI) (CA INDEX NAME)

●2 HBr

RN 375812-32-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3-benzodioxol-5-yl)-3-isoxazolyl]-, dihydrobromide (9CI) (CA INDEX NAME)

$$N - 0$$

•2 HBr

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

0 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:597964 CAPLUS

DOCUMENT NUMBER: 135:180773

TITLE: Preparation of oxoquinolinecarboxylic acid,

oxonaphthyridinecarboxylic acid, and

pyridobenzoxazinecarboxylic acid derivatives as

antibacterial agents

INVENTOR(S): Takemura, Makoto; Takahashi, Hisashi; Kawakami,

Katsuhiro; Namba, Kenji; Tanaka, Mayumi; Miyauchi, Rie

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT :	NO.		KIND DATE								DATE					
WO	2001	 0588'	76	A1 20010816					2001-				2	0010	207		
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		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
		HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,
•		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,
		ΥU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM				
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		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML	MR,	NE,	SN,	TD,	TG		
CA	2398	988			AA		2001	0816		CA 2	2001-	2398	988		2	0010	207
AU	2001	0322	38		<b>A</b> 5	2001	0820		AU 2	2001-	3223	20010207					
EP	1262	477			A1	2002	1204		EP 2	2001-	9043	20010207					
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		•				•	RO,	•									
											2002-						
ИО	2002	0037	64		Α		2002	1009		NO 2	2002-	3764			2	0020	808
PRIORITY	Y APP	LN.	INFO	.:							2000-		-		_		
										WO 2	2001-	JP86	1	I	√ 2	0010	207
OTHER SO	OTHER SOURCE(S):						135:	1807	73								

$$x^1$$
 $A^3$ 
 $CO-OY$ 
 $A^2$ 
 $R^2$ 
 $R^2$ 
 $R^2$ 
 $R^2$ 
 $R^2$ 
 $R^2$ 

AB The title compds. I [R1 = alkyl, etc.; R2 = H, alkylthio; further details on R1 and R2 are given; R3 = H, alkoxy, etc.; A1 = N, etc.; A2, A3 = N, C; further details on A1, A2, A3 are given; X1 = halo, etc.; Y = H, Ph, etc.;

GΙ

Z = heterocyclic substituent; further details on said heterocyclic substituent are given) are prepared I show excellent antibacterial activity (against M. tuberculosis and atypical acid-fast bacteria), favorable kinetics in vivo and high safety. Several compds. of this invention in vitro show MICs of 0.78  $\mu$ g/mL to 3.13  $\mu$ g/mL against rifampicin-resistant M. tuberculosis, vs. MIC of 25  $\mu$ g/mL shown by ofloxacin. Formulations are given.

### IT 354812-31-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxoquinolinecarboxylic acid, oxonaphthyridinecarboxylic acid, and pyridobenzoxazinecarboxylic acid derivs. as antibacterial agents)

RN 354812-31-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 16 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:401827 CAPLUS

DOCUMENT NUMBER: 133:30743

TITLE: 1,4-Diazabicyclo[3.2.2] nonane derivatives, their

preparation and therapeutic application

INVENTOR(S): Lochead, Alistair; Jegham, Samir; Nedelec, Alain;

Galli, Frederic; Jeunesse, Jean; Even, Luc

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	rent :	NO.			KIND DATE					ICAT		DATE					
WO	2000	0342	 79		A1 20000615									1	9991	201	
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		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
		IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
		SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	ŪĠ,	US,	UZ,	VN,	ΥU,	ZA,	ZW,	AM,
							RU,				•						
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	ŪG,	ZW,	ΑT,	BE,	CH,	CY,	DE,
							GR,										
							GW,										
FR	FR 2786770						2000	0609		FR 1	998-	1532	6		1	9981	204
FR	2786	770			В1		2001	0119									
EP	1135	389			A1		2001	0926		EP 1	999-	9732	91		1	9991	201
EP	1135	389			В1		2003	0910									
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		IE,	SI,	LT,	LV,	FI,	RO										
JP	2002	5315	65		T2		2002	0924		JP 2	000-	5867	25		1	9991	201
AT	2494	60			E		2003	0915		AT 1	999-	9732	91		1	9991	201
US	6407	095			В1		2002	0618		US 2	001-	8569	45		2	0010	716
PRIORIT	Y APP	LN.	INFO	.:						FR 1	998-	1532	6	1	A 1	9981	204
										WO 1	999-	FR29	75	1	W 1	9991	201
OTHER SO	THER SOURCE(S):					PAT	133:	3074	3								

$$\begin{array}{c|c}
R^2 \\
R^1 \\
N \\
N
\end{array}$$

AB Title compds. such as I [X, Y, Z = N, CH, C-halo, C-CN; R1 = H, halo, CF3, CN, OH, alkoxy, (un)substituted phenyl] were prepared by coupling the two ring systems. Thus, refluxing 1.0 g 1,4-diazabicyclo[3.2.2]nonane, 5.0 g 3-bromopyridine, 88.9 mg Pd(OAc)2, 247 mg 2,2'-bis(diphenylphosphino)-1,1'-binaphthyl, and 3.61 g Cs2CO3 in 50 mL THF for 72 h, followed by workup,

gave 0.83 g of an orange oil, which was treated with HBr to give 1.02 g I  $(X = Z = CH, Y = N, R1 = R2 = H) \cdot 2HBr$ . I were tested for their affinity to the nicotinic receptor and for analgesic activity. IT 273721-55-4P 273721-57-6P 273721-59-8P 273721-60-1P 273721-61-2P 273721-62-3P 273721-63-4P 273721-64-5P 273721-65-6P 273721-66-7P 273721-67-8P 273721-68-9P 273721-70-3P 273721-71-4P 273721-72-5P 273721-73-6P 273721-74-7P 273721-75-8P 273721-76-9P 273721-77-0P 273721-78-1P 273721-79-2P 273721-80-5P 273721-81-6P 273721-82-7P 273721-83-8P 273721-84-9P 273721-85-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation, analgesic activity, and nicotinic receptor affinity of heteroaryl derivs. of 1,4-diazabicyclo[3.2.2]nonane) RN 273721-55-4 CAPLUS 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenyl-3-pyridinyl)-, dihydrobromide CN (9CI) (CA INDEX NAME)

•2 HBr

RN 273721-57-6 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(5-phenyl-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 273721-59-8 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-phenyl-3-pyridazinyl)-, dihydrobromide (9CI) (CA INDEX NAME)

#### •2 HBr

RN 273721-60-1 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(1,3-benzodioxol-5-yl)-3-pyridazinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

### •2 HBr

RN 273721-61-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(4-methylphenyl)-3-pyridazinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

### ●2 HBr

RN 273721-62-3 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-[3,5-bis(trifluoromethyl)phenyl]-3-pyridazinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

### ●2 HBr

RN 273721-63-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-(6-[1,1'-biphenyl]-4-yl-3-pyridazinyl)-, dihydrobromide (9CI) (CA INDEX NAME)

RN 273721-64-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(4-fluorophenyl)-3-pyridazinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

## ●2 HBr

RN 273721-65-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-[4-(trifluoromethoxy)phenyl]-3-pyridazinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

## ●2 HBr

RN 273721-66-7 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-[3-(trifluoromethyl)phenyl]-3-pyridazinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

### ●2 HBr

### •2 HBr

RN 273721-70-3 CAPLUS
CN Ethanone, 1-[3-[6-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridazinyl]phenyl], dihydrobromide (9CI) (CA INDEX NAME)

RN 273721-71-4 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(4-fluorophenyl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

●2 HBr

RN 273721-72-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[6-(4-methoxyphenyl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

RN273721-73-6 CAPLUS

1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[3-(trifluoromethyl)phenyl]-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME) CN

●2 HBr

273721-74-7 CAPLUS RN

1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(1,3-benzodioxol-5-yl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME) CN

RN 273721-75-8 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-fluorophenyl)-3-pyridinyl]-,
dihydrobromide (9CI) (CA INDEX NAME)

### •2 HBr

RN 273721-76-9 CAPLUS
CN Ethanone, 1-[3-[5-(1,4-diazabicyclo[3.2.2]non-4-yl)-3-pyridinyl]phenyl]-,
dihydrobromide (9CI) (CA INDEX NAME)

### ●2 HBr

## ●2 HBr

RN 273721-79-2 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-methylphenyl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

#### •2 HBr

RN 273721-80-5 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methylphenyl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

#### •2 HBr

RN 273721-81-6 CAPLUS

CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(2-chlorophenyl)-3-pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

### ●2 HBr

RN 273721-82-7 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-(4-methoxyphenyl)-3-pyridinyl]-,
dihydrobromide (9CI) (CA INDEX NAME)

### •2 HBr

RN 273721-84-9 CAPLUS
CN 1,4-Diazabicyclo[3.2.2]nonane, 4-[5-[4-(trifluoromethoxy)phenyl]-3 pyridinyl]-, dihydrobromide (9CI) (CA INDEX NAME)

# ●2 HBr

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 17 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:98559 CAPLUS

DOCUMENT NUMBER: 132:137410

TITLE: Preparation of novel azabicyclic compounds for

treatment of CNS disorders

INVENTOR(S): Gaster, Laramie Mary; Heightman, Thomas Daniel; Wyman,

Paul Adrian

PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

W: CA, JP, US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE

PRIORITY APPLN. INFO.: GB 1998-16288 A 19980728

GB 1998-27881 A 19981217

OTHER SOURCE(S): MARPAT 132:137410

GI

#### \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- The title compds. [I; Ra = II-IV (wherein P1-P3 = Ph, bicyclic aryl, 5-7 membered heterocyclyl, etc.; R1 = H, halo, alkyl, etc.; R2-R3 = halo, alkyl, cycloalkyl, etc.; a, b = 0-2; A = a bond, O, CH2, etc.; E = (un)substituted 5-7 membered carbocyclic ring fused at the 2,3- or 3,4-positions of the adjacent Ph ring, the ring E optionally fused to a further (un)substituted Ph ring); L = C(:V)DG, DGC(:V), YC(:V)DG; V = O, S; Y = NH, N(alkyl), CH2, O; D = N, C, CH; G = H, alkyl; Rb1, Rb2 = H, halo, OH, etc.; R4 = (un)substituted V (X = N, CH, C; m = 1-3), VI], useful in the treatment of CNS disorders such as depression, were prepared Thus, treatment of 4-(pyridin-4-yl)naphth-1-ylamine with triphosgene in the presence of Et3N in DCM followed by addition of (S)-4-methoxy-3- (octahydropyrrolo[1,2-a]pyrazin-2-yl)aniline in DCM afforded 91% (S)-VII. All presented examples of compds. I had pKi > 7.4 at 5-HT1A, 5-HT1B and 5-HT1D receptors.
- IT 256923-91-8P 256923-92-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel azabicyclic compds. for treatment of CNS disorders)

RN 256923-91-8 CAPLUS

CN 1H-Indole-1-carboxamide, 6-(1,4-diazabicyclo[3.2.2]non-4-yl)-N-[5-(2,6-dimethyl-4-pyridinyl)-1-naphthalenyl]-2,3-dihydro-5-methoxy- (9CI) (CA INDEX NAME)

RN 256923-92-9 CAPLUS

CN 1H-Indole-1-carboxamide, 6-(1,4-diazabicyclo[3.2.2]non-4-yl)-N-[5-(2,6-dimethyl-4-pyridinyl)-1-naphthalenyl]-2,3-dihydro-5-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

ANSWER 18 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:549274 CAPLUS

DOCUMENT NUMBER: 131:170364

TITLE: Preparation of sulfonanilide 5-HT6 receptor

antagonists

INVENTOR(S): Bromidge, Steven Mark; Serafinowska, Halina Teresa

PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.						)	DATE		,	APP	LICAT	ION :	NO.		D.	ATE	
WO 9942465					A2 19990826				WO 1999-EP1013						19990212		
WO	WO 9942465			A3 19990930													
	W:	CA,	JP,	US													
	RW:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FF	R, GB,	GR,	IE,	IT,	LU,	MC,	NL,
		PT,	SE														
CA	2321	278			AA		1999	0826		CA	1999-	2321	278		1	9990	212
EP	1066	288			A2		2001	0110		EΡ	1999-	9102	28		1	9990	212
	R:	BE,	CH,	DE,	ES,	FR,	GB,	IT,	LI,	NI							
JР	2002	5044	84		Т2		2002	0212		JΡ	2000-	5324	17		1	9990	212
PRIORIT	Y APP	LN.	INFO	. :						GB	1998-	3411			A 1	9980	218
										WO	1999-	EP10	13	1	₩ 1	9990	212
INIONII	1 1111	1111	11110	• •											_		

OTHER SOURCE(S): MARPAT 131:170364

GI

RZ1Z2Z3R4 [R = (un) substituted phenylene, -heterocyclylene, etc.; R4 = AB (un) substituted N-attached diazabicycloalkyl; Z1 = bond or alk(en) ylene; Z2 = SO2NH or NHSO2; Z3 = (un)substituted 1,3-phenylene] were prepared as 5-HT6 receptor antagonists (no data). Thus, 2-methoxy-5-nitroaniline was N-alkylated by 2-bromomethylpiperidine and the product N-alkylated by BrCH2CO2Et to give, after cyclization and 2 reduction steps, 4-methoxy-3-octahydropyrido[1,2-a]pyrazin-2-ylaniline which was amidated by 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride to give title compound I.

ΙT 239122-31-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonanilide 5-HT6 receptor antagonists) 239122-31-7 CAPLUS

RN

Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(1,4-diazabicyclo[3.2.1]oct-4-yl)-4-methoxyphenyl]-3-methyl- (9CI) (CA INDEX NAME) CN

CORPORATE SOURCE:

ANSWER 19 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:409426 CAPLUS

DOCUMENT NUMBER: 129:117473

TITLE: Activity of new quinolones against intracellular

Mycobacterium avium in human monocytes. [Erratum to

document cited in CA128:212700]

AUTHOR(S): Venkataprasad, Nandagopal; Jacobs, Michael R.;

Johnson, John L.; Klopman, Gilles; Ellner, Jerrold J. Division of Infectious Diseases, Case Western Reserve

University, OH, 44106, USA

SOURCE: Journal of Antimicrobial Chemotherapy (1998), 41(6),

674

CODEN: JACHDX; ISSN: 0305-7453

PUBLISHER: Oxford University Press

DOCUMENT TYPE: Journal LANGUAGE: English

AB The ciprofloxacin MICs for strain PI 112/39 for inocula of 103, 104, and 105 were incorrectly reproduced in Table I; the corrected table is given.

IT 100936-74-1, PD 119421

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(activity of new quinolones against intracellular Mycobacterium avium in human monocytes (Erratum))

RN 100936-74-1 CAPLUS

ANSWER 20 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

1998:34271 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

128:212700

TITLE:

Activity of new quinolones against intracellular

Mycobacterium avium in human monocytes

AUTHOR(S):

Venkataprasad, Nandagopal; Jacobs, Michael R.;

Johnson, John L.; Klopman, Gilles; Ellner, Jerrold J. Division of Infectious Diseases, Case Western Reserve

University, OH, 44106, USA

SOURCE:

Journal of Antimicrobial Chemotherapy (1997), 40(6),

841-845

CODEN: JACHDX; ISSN: 0305-7453

Oxford University Press

PUBLISHER: DOCUMENT TYPE:

CORPORATE SOURCE:

Journal

LANGUAGE:

English

The ability to inhibit the in-vitro growth of mycobacteria within human monocytes is a useful screening assay for novel chemotherapeutic agents. In this study the MICs of a panel of new quinolones were determined by the broth microdilution method for two strains of Mycobacterium avium. Sixteen such compds. with MIC90s ranging from 2 to >32 mg/L were subsequently selected for the 7 day monocyte assay using ciprofloxacin for comparison. The degree of inhibition of intracellular growth correlated with the MICs. PD 139586, PD 143289, PD 135144, PD 119421 and PD 131575 were the most active new agents with activities superior to those of ciprofloxacin and sparfloxacin.

100936-74-1, PD 119421 IΤ

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(activity of new quinolones against intracellular Mycobacterium avium in human monocytes)

RN 100936-74-1 CAPLUS

3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-CN yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT SOURCE:

ANSWER 21 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:281083 CAPLUS

DOCUMENT NUMBER: 126:314002

TITLE: Design and Synthesis of Transition State Analogs for

Induction of Hydride Transfer Catalytic Antibodies Schroeer, Josef; Sanner, Michel; Reymond, Jean-Louis;

AUTHOR(S): Schroeer, Josef; Sanner Lerner, Richard A.

CORPORATE SOURCE: Departments of Molecular Biology and Chemistry,

Scripps Research Institute, La Jolla, CA, 92037, USA Journal of Organic Chemistry (1997), 62(10), 3220-3229

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 126:314002

AB Alc. dehydrogenases and related aldehyde reductase enzymes catalyze the oxidation of alcs. to aldehydes and the simultaneous reduction of a nicotinamide

derivative (NAD+ or NADP+) to the corresponding 1,4-dihydronicotinamide. Herein we report the design and synthesis of a stable transition state analog for this hydride transfer process. Compound 1 is a rigid [3.2.2] bicyclic structure containing 3-piperidone oxime as a mimic for 1,4-dihydronicotinamide. The piperidone is held in the boat conformation corresponding to the transition state by a three-atom lactam bridge between N(1) and C(4). The oxime function mimics the carboxamide group in nicotinamide. The lactam nitrogen serves as an attachment point for the alkyl group of the alc. substrate, and the amide oxygen atom mimics its hydroxyl group. Compound 1 was prepared in 10 steps from N-benzylpiperidone, functionalized with substrate and cofactor recognition elements into transition state analogs 2 and 3 and conjugated to carrier proteins for immunization. These novel analogs open the way for the exploration of the dehydrogenase reaction using catalytic antibodies.

#### IT 189361-38-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(design and synthesis of transition state analogs for induction of hydride transfer catalytic antibodies)

RN 189361-38-4 CAPLUS

CN 4-Aza-1-azoniabicyclo[3.2.2]nonane, 1-[[4-[[(2-carboxyethyl)amino]carbonyl]phenyl]methyl]-4-cyclohexyl-6-(hydroxyimino)-3-oxo-, inner salt (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 22 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:38543 CAPLUS

DOCUMENT NUMBER: 122:156098

TITLE: In vitro anti-Mycobacterium avium activities of

quinolones: predicted active structures and

mechanistic considerations

AUTHOR(S): Klopman, Gilles; Li, Ju-Yun; Wang, Shaomeng; Pearson,

Anthony J.; Chang, Kieyoung; Jacobs, Michael R.;

Bajaksouzian, Saralee; Ellner, Jerrold J.

CORPORATE SOURCE: Chem. Dept., Case Western Res. Univ., Cleveland, OH,

44106, USA

SOURCE: Antimicrobial Agents and Chemotherapy (1994), 38(8),

1794-1802

CODEN: AMACCQ; ISSN: 0066-4804

DOCUMENT TYPE: Journal LANGUAGE: English

The relation between the structures of quinolones and their anti-M. avium AB activities has been previously derived by using the Multiple Computer-Automated Structure Evaluation program. A number of substructural constraints required to overcome the resistance of most of the strains have been identified. Nineteen new quinolones which qualify under these substructural requirements were identified by the program and subsequently tested. The substructural attributes identified by the program produced a successful a priori prediction of the anti-M. avium activities of the new quinolones. All 19 quinolones were active, and 4 of them are as active or better than ciprofloxacin. With these new quinolones, the updated multiple computer-automated structure evaluation program structure-activity relationship anal. has helped to uncover addnl. information about the nature of the substituents at the C5 and C7 positions needed for optimal inhibitory activity. A possible explanation of drug resistance based on the observation of suicide inactivation of bacterial cytochrome P 450 by the cyclopropylamine moiety has also been proposed and is discussed in this report. The view that the amount of the uncharged form present in a neutral pH solution plays a crucial role in the drug's penetration ability was confirmed.

IT 100936-74-1, PD 119421

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(anti-Mycobacterium activity of)

RN 100936-74-1 CAPLUS

ANSWER 23 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:2483 CAPLUS

DOCUMENT NUMBER: 123:164953

TITLE: Anti-mycobacterium avium activity of quinolones: in

vitro activities. [Erratum to document cited in

CA120:27300f]

AUTHOR(S): Klopman, Gilles; Wang, Shaomeng; Jacobs, Michael R.;

Bajaksouzian, Saralee; Edmonds, Kay; Ellner, Jerrold

J.

CORPORATE SOURCE: Chem. Dep., Case West. Reserve Univ., Cleveland, OH,

44106, USA

SOURCE: Antimicrobial Agents and Chemotherapy (1993), 37(12),

2766

CODEN: AMACCQ; ISSN: 0066-4804

DOCUMENT TYPE: Journal LANGUAGE: English

AB The errors were not reflected in the abstract or the index entries.

IT 100936-74-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(Mycobacterium avium sensitivity to (Erratum))

RN 100936-74-1 CAPLUS

ANSWER 24 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:27300 CAPLUS

DOCUMENT NUMBER: 120:27300

TITLE: Anti-mycobacterium avium activity of quinolones: in

vitro activities

AUTHOR(S): Klopman, Gilles; Wang, Shaomeng; Jacobs, Michael R.;

Bajaksouzian, Saralee; Edmonds, Kay; Ellner, Jerrold

J.

CORPORATE SOURCE: Chem. Dep., Case West. Reserve Univ., Cleveland, OH,

44106, USA

SOURCE: Antimicrobial Agents and Chemotherapy (1993), 37(9),

1799-806

CODEN: AMACCQ; ISSN: 0066-4804

DOCUMENT TYPE: Journal LANGUAGE: English

AB The MICs of 88 quinolones against 14 selected reference and clin. strains of Mycobacterium avium-M. intracellulare complex were determined Agents tested included ciprofloxacin, sparfloxacin (PD 131501), and 86 other exptl. quinolones. Test strains were selected to represent various susceptibilities to ciprofloxacin and other drug resistance profiles. MICs were determined by the microdilution method in 7HSF broth, with incubation for 14 days at 35°. The results showed 25 of the quinolones to be active against the strains, with MICs for 90% of the strains (MIC90s) of 2 to 32 µg/mL. Ten of these compds. had activities equivalent to or greater than that of ciprofloxacin. The most active compound was PD 125354, with an MIC50 of 0.5 μg/mL and an MIC90 of 2 μg/mL; comparable values for ciprofloxacin were 4 and 8 µg/mL, resp. The next most active compds., with MIC90s of 4  $\mu$ g/mL, were sparfloxacin (PD 131501), PD 123982, PD 135144, and PD 119421. MIC90s of PD 131575, PD 126889, PD 122642, PD 139586, and PD 143289 were 8 µg/mL. Further evaluation of the most active agents is warranted, as is assessment of structure-activity relationships of active and inactive agents to elucidate the active portions of the compds. and to lead to the development of compds. with enhanced activity.

IT 100936-74-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(Mycobacterium avium sensitivity to)

RN 100936-74-1 CAPLUS

ANSWER 25 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

1993:539131 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 119:139131

Preparation of N-cyclopropylquinolonecarboxylates as TITLE:

antibacterial agents

INVENTOR(S): Hayakawa, Isao; Kimura, Youichi; Takahashi, Hisashi

Daiichi Pharmaceutical Co., Ltd., Japan PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT NO	KIND DATE			APPLICATION NO.							DATE		
WO	9221659							WO	1992-	JP68	7			19920527
	W: AU													_
	RW: A													
AU	9218872	2		Al	1993	0108	i	AU	1992-	1887	2			19920527
	661999													
EP	593766						1	ΕP	1992-	9106	98			19920527
EP	593766			B1	2000	0906								
	R: A	r, BE,	CH,	DE, D	K, ES,	FR,	GB,	GI	R, IT,	LI,	LU,	MC,	NI	L, SE
AT	196135			E	2000	0915	7	ΑТ	1992-	9106	98			19920527
ES	196135 2151488 2110260	3		Т3	2001	0101	1	ES	1992-	9106	98			19920527 19920527
CA	2110260	)		С	2001	1204			1992-					19920527
JP	0516324	14		A2	1993	0629	,	JΡ	1992-	1365	04			19920528
JP	3215500			B2		1009								
	109201	-			2002	0614		FΙ	1993-	5243				19931125
	9304279					0128			1993-					19931126
	180780			В	1997	0310	•							
	180780			c		0618								
	210035	1		C1		1227	,	זזם	1993-	5841	7			19931126
	569613			A		1209			1994-					19940126
	303496			Т3		.0228			2000-					20001130
				13	2001	.0220								
PRIORIT	I APPLN	. INFO	. :											19910528
								WO	1992-	.0168	/	-	A	19920527
OTHER S	OURCE (S)	):		MARPA	т 119:	13913	31							

 $R^{1}$ Me χ1 CO2Z CO2H H<sub>2</sub>N Ι II

The title compds. [I; R1 = Me, Et, Pr, iso-Pr, FCH2, F2CH; R2 = (un) substituted saturated N-containing heterocyclyl; A = CX3; X3 = H, halo, cyano,

GI

CF3, C1-6 alkyl or alkyloxy; X1, X2 = halo; Z = phenylalkyl, H, Ph, AcOCH2, pivaloyloxymethyl, CO2Et, 5-indanyl, C1-6 alkyl, C2-7 alkyloxymethyl, etc.] are prepared Thus, a mixture of 100 mg 6,7,8-trifluoro-1-[(1R,2S)-2-fluorocyclopropyl]-5-methyl-4-oxo-1,4-dihydroquinoline-3-carboxylic acid (preparation given), 120 mg (S)-3-(tert-butoxycarbonylamino)pyrrolidine, and 3 mL DMSO was heated at 100-120° for 1 h with stirring to give, after deprotection with CF3CO2H and crystallization from EtOH and aqueous NH3, a title compound (II).

II

CN

inhibited 13 bacteria, e.g., Escherichia coli NJHJ, Pseudomonas aeruginosa 32121, Staphylococcus aureus 209p, and Streptococcus faecalis, with MIC of 0.006, 0.025, 0.025, and 0.1  $\mu$ g/mL, resp.. A total of 12 I were prepared

IT 149326-78-3P 149326-79-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as antibacterial agent)

RN 149326-78-3 CAPLUS

3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-5-methyl-4-oxo-(9CI) (CA INDEX NAME)

RN

149326-79-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-5-methyl-4-oxo-(9CI) (CA INDEX NAME)

ANSWER 26 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:128900 CAPLUS

DOCUMENT NUMBER: 116:128900

TITLE: Preparation of benzo[b][1,6]naphthyridine and

pyrido[2,3-b][1,6]naphthyridine derivatives as

antibacterial agents

INVENTOR(S): Nakano, Junji; Shibamori, Koichiro; Minamida, Akira;

Hirose, Toru; Matsumoto, Junichi; Nakamura, Shinichi

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
	<b>-</b>				
JP 03223283	A2	19911002	JP 1990-228767		19900829
PRIORITY APPLN. INFO.:			JP 1989-223655	A1	19890830
			JP 1989-330056	<b>A</b> 1	19891219

OTHER SOURCE(S): MARPAT 116:128900

Ι

GΙ

AB Tricyclic compds. [I; X1 = halo; A = N, CX2; X2 = H, halo, cyano, alkyloxy; R1 = (cyclo)alkyl, haloalkyl, alkenyl, (un)substituted Ph; R2 = H, alkyl; R3 = halo, (un)substituted NH2] are prepared Thus, a mixture of Et 1-cyclopropyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carboxylate 5.0, Zn powder 2.32, and BrCH2CO2Et 6 g in THF was refluxed for 4 h to give 6.4 g Et 1-cyclopropyl-2-ethoxycarbonyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carboxylate (II). To a mixture of 8.1 g II, 200 mL 28% aqueous NH3, and 100 mL EtOH, NH3 (g) was introduced at room temperature and the mixture

was sealed and stirred at room temperature for 1 day to give 3.8 g I (X1 = R3 =
F, A = CF, R1 = cyclopropyl, R2 = H). I (X1 = F, A = CH, R1 = iso-Pr, R2
= H, R3 = 3-aminopyrrolidin-1-yl) showed min. inhibitory concentration of
0.0125

and 0.39  $\mu$ g/mL against Staphylococcus aureus and Pseudomonas aeruginosa, resp. A total of 75 I were prepared

IT 139295-49-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as medical bactericide)

RN 139295-49-1 CAPLUS

CN Benzo[b][1,6]naphthyridine-3,10(2H,5H)-dione, 5-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-8-fluoro-1-hydroxy- (9CI) (CA INDEX NAME)

Page 280

ANSWER 27 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:98888 CAPLUS

DOCUMENT NUMBER: 116:98888

TITLE: Synthesis and structure-activity relationships of

7-diazabicycloalkylquinolones, including danofloxacin, a new quinolone antibacterial agent for veterinary

medicine

AUTHOR(S): McGuirk, Paul R.; Jefson, Martin R.; Mann, Douglas D.;

Elliott, Nancy C.; Chang, Polly; Cisek, Eugene P.; Cornell, C. Peter; Gootz, Thomas D.; Haskell, Susan

L.; et al.

CORPORATE SOURCE: Cent. Res. Div., Pfizer Inc., Groton, CT, 06340, USA

SOURCE: Journal of Medicinal Chemistry (1992), 35(4), 611-20

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

GI

A series of novel 6-fluoro-7-diazabicycloalkylquinolonecarboxylic acids AΒ substituted with various C8 (H, F, Cl, N) and N1 (Et, cyclopropyl, vinyl, 2-fluoroethyl, 4-fluorophenyl, 2,4-difluorophenyl) substituents, as well as, 9-fluoro-10-diazabicycloalkylpyridobenzoxazinecarboxylic acids, were prepared and evaluated for antibacterial activity against a range of important veterinary pathogenic bacteria. The diazabicycloalkyl side chains investigated at the 7-position (benzoxazine 10-position) include (1S, 4S) - 5-methyl-2,5-diazabicyclo[2.2.1]heptane (1S, 4S) - 2,5diazabicyclo[2.2.1]heptane (1R,4R)-5-methyl-2,5diazabicyclo[2.2.1]heptane, 8-methyl-3,8-diazabicyclo[3.2.1]octane, 9-methyl-3,9-diazabicyclo[4.2.1]nonane, 1,4-diazabicyclo[3.2.2]nonane, 1,4-diazabicyclo[3.3.1]nonane and 9-methyl-3,9-diazabicyclo[3.3.1]nonane. Among these side chains, in vitro potency was not highly variable; other properties therefore proved more critical to the selection of possible development candidates. However, the relative potencies observed for several of these compds. in mouse, swine, and cattle infection models correlated well with those seen in vitro. A combination of the N1 cyclopropyl group and the C7 (1S,4S)-5-methyl-2,5-diazabicyclo[2.2.1]hept-2-yl appendage conferred the best overall antibacterial, physiochem., and pharmacodynamic properties. Hence, danofloxacin (Advocin, CP-76136 I) was selected as a candidate for development as a therapeutic antibacterial agent for veterinary medicine.

### IT 112894-29-8 112894-33-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antibacterial activity of)

RN 112894-29-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 112894-33-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

IT 138698-17-6P 138698-18-7P 138698-19-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antibacterial activity of)

RN 138698-17-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 138698-18-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 138698-19-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

ANSWER 28 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:143090 CAPLUS

DOCUMENT NUMBER: 114:143090

TITLE: Quinolone antibacterials: preparation and activity of

bridged bicyclic analogues of the C7-piperazine

AUTHOR(S): Kiely, John S.; Hutt, Marland P.; Culbertson, Townley

P.; Bucsh, Ruth A.; Worth, Donald F.; Lesheski, Lawrence E.; Gogliotti, Rocco D.; Sesnie, Josephine

C.; Solomon, Marjorie; Mich, Thomas F.

CORPORATE SOURCE: Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann

Arbor, MI, 48105, USA

SOURCE: Journal of Medicinal Chemistry (1991), 34(2), 656-63

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:143090

GΙ

AB A series of quinolone and naphthyridine antibacterial agents possessing as the C7-heterocycle bicyclic 2,5-diazabicyclo[n.2.m]alkanes, where n = 2,3 and m = 1,2, and a series including 4-aminopiperidine and 3-amino-8-azabicyclo[3.2.1]octanes have been prepared and evaluated in vitro and in vivo for antibacterial activity against a variety of Gram-neg. and Gram-pos. organisms. These compds. were also tested against the target enzyme bacterial DNA gyrase. All the examples investigated are nearly equipotent with the parent 7-piperazinyl analogs. Only endo-7-(3-amino-8-azabicyclo[3.2.1]oct-8-yl)-1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid (I) displays activity that surpasses that of the piperazine parent.

IT 100936-71-8P 100936-74-1P 108437-39-4P 111453-70-4P 119354-59-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

Ι

(preparation, gyrase inhibition by, and bactericidal activity of)

RN 100936-71-8 CAPLUS

RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 108437-39-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

$$\bigcap_{N} \bigvee_{F} \bigvee_{O} co_{2}H$$

RN 111453-70-4 CAPLUS

● HCl

ANSWER 29 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:114697 CAPLUS

DOCUMENT NUMBER: 110:114697

Preparation of 5-substituted guinolone- and TITLE:

naphthyridonecarboxylic acids as antibacterial agents

Petersen, Uwe; Grohe, Klaus; Schriewer, Michael; INVENTOR(S):

Schenke, Thomas; Haller, Ingo; Metzger, Karl;

Endermann, Rainer; Zeiler, Hans Joachim

PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 32 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent German LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	CENT NO.			KIND	)	DATE		APE	PLICAT	ION	NO.		DATE
DE	3711193			A1	,	198810	13	DE	1987-	3711	193	 -	19870402
	8801121			A		198810			1988-				19880314
EP	284935			<b>A</b> 1		198810	05	EP	1988-	1044	152		19880321
	R: AT,	BE,	CH,	DE,	ES,	, FR, G	B,	GR, IT	r, LI,	NL,	SE		
AU	8813811			<b>A</b> 1		198810	06	AU	1988-	1383	11		19880328
DD	274029			<b>A</b> 5		198912	06	DD	1988 <del>-</del>	314	L59		19880329
DK	8801802			Α		198810	003	DK	1988-	1802	2		19880330
FI	8801501			Α		198810	003	FI	1988-	150	L		19880330
CN	88101741			Α		198811	16	CN	1988-	101	741		19880331
ZA	8802318			Α		198812	28	ZA	1988-	2318	3		19880331
JР	63258855			A2		198810	26	JP	1988-	7829	8		19880401
HU	47098			A2		198901	.30	HU	1988-	1619	•		19880401
HU	201050			В		199009	28						
ORITY	APPLN.	INFO	. :					DE	1987-	371	L193	Α	19870402

PRIORITY APPLN. INFO.: CASREACT 110:114697; MARPAT 110:114697 OTHER SOURCE(S):

GI

The title compds. [I; A = N, CR9; R1 = Me, Et, cyclopropyl, etc.; R2 = H, AB alkyl, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl; R3 = Me, 13 N-attached heterocyclyl; R9 = H, halo, Me, cyano, NO2; R1R9 = OCH2CHMe, SCH2CHMe, CH2CH2CHMe] were prepared C6F5COCH2CO2Et (preparation given) was refluxed 2 h with HC(OEt)3 in Ac20 to give C6F5COC(CO2Et): CHOEt which was treated overnight with cyclopropylamine in EtOH to give C6F5COC(CO2Et):CHNHR (R = cyclopropyl). The latter was refluxed 3 h in DMF containing NaF to give, after saponification, quinolonecarboxylate II (R3 = Y = F) which was refluxed

with 1-methylpiperazine in MeCN/DMF containing Dabco to give II (R3 = 4-methyl-1-piperazinyl, Y = F) (III). Tablets were prepared each containing III

3 h

583.0, cellulose 55.0, starch 72.0, polyvinylpyrrolidone 30.0, SiO2 5.0, and Mg stearate 5.0 mg with a coating comprising (hydroxypropyl)methylcellulose 6.0, Macrogol 40,000 2.0, and TiO2 2.0 mg. II (R3 = 3-methyl-1-piperazinyl, Y = NH2) had a min. inhibitory concentration

of

CN

0.5 (units not given) against Escherichia coli 455/7.

IT 119354-04-0P 119354-05-1P 119354-06-2P 119354-32-4P 119354-33-5P 119354-59-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as antibacterial agent)

RN 119354-04-0 CAPLUS

3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-5,6,8-trifluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 119354-05-1 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-5,6-difluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 119354-06-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-5,6,8-trifluoro-1,4-dihydro-7-(2-methyl-1,4-diazabicyclo[3.2.1]oct-4-yl)-4-oxo- (9CI) (CA INDEX NAME)

RN 119354-32-4 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 5-amino-1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 119354-33-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-6,8-difluoro-1,4-dihydro-7-(2-methyl-1,4-diazabicyclo[3.2.1]oct-4-yl)-4-oxo-(9CI) (CA INDEX NAME)

RN 119354-59-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

ANSWER 30 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:57534 CAPLUS

DOCUMENT NUMBER: 110:57534

TITLE: Preparation of substituted bridged-

diazabicycloalkylquinolonecarboxylic acids as

antibacterials

INVENTOR(S): Jefson, Martin R.; McQuirk, Paul R.

PATENT ASSIGNEE(S): Pfizer Inc., USA SOURCE: U.S., 14 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4775668	Α	19881004	US 1986-898458	19860819
PRIORITY APPLN. INFO.:			US 1986-898458	19860819
OTHER SOURCE(S):	CASRE	ACT 110:57534	; MARPAT 110:57534	
GI				

## \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The title compds. [I; R1 = H, alkyl, pharmaceutically acceptable cation; R2 = Q1-Q5; A = CH, CF, CCl, N; Y = alkyl, haloalkyl, cyclopropyl, vinyl, MeO, 4-FC6H4, 4-HOC6H4, 4-H2NC6H4, etc.; AY = bridge group; Q = H, C1-3 alkyl, alkylcarbonyl, alkoxycarbamoyl; n = 1-3; m = 1, 2; p = 0,1] and their pharmaceutically acceptable salts were prepared as bactericides (no data). A mixture of 1-ethyl-6,7-difluoro-4-oxo-1,4-dihydro-3-quinolinecarboxylic acid, 8-methyl-3,8-diazabicyclo[3.2.1]octane-2HCl, and 1,8-diazabicyclo[5.4.0]undec-7-ene in pyridine was heated at 80° for 3 h to give 65% 1-ethyl-6-fluoro-1,4-dihydro-7-(8-methyl-3,8-diazabicyclo[3.2.1]oct-3-yl)-4-oxo-3-quinoline carboxylic acid.

#### IT 112894-29-8P 112894-33-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antibacterial)

RN 112894-29-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 112894-33-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

$$N$$
 $E$ 
 $CO_2H$ 

ANSWER 31 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:549373 CAPLUS

DOCUMENT NUMBER: 109:149373

TITLE: Preparation of 7-amino- or -N-heterocyclylquinol-4-one-

3-carboxylates as antibacterial agents or

 ${\tt immunostimulants}$ 

INVENTOR(S): Preiss, Michael

PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 13 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.		DATE	APPLICATION NO.	DATE
DE 3641312	A1	19880609	DE 1986-3641312	
NO 8704788	Α	19880606	NO 1987-4788	19871117
NO 174199	В	19931220		
NO 174199 NO 174199	С	19940406		
EP 274033	A1	19880713	EP 1987-117130	19871120
EP 274033	B1	19920311		
			GR, IT, LI, LU, NL, SE	
AT 73446	E	19920315	AT 1987-117130	
AT 73446 ES 2038156	Т3	19930716	ES 1987-117130	19871120
IL 84627	<b>A1</b>	19920115	IL 1987-84627	19871127
CS 270577	B2		CS 1987-8688	
FI 8705289	Α	19880604	FI 1987-5289	19871201
JP 63145268	A2		JP 1987-301624	
DD 270904	A5	19890816	DD 1987-309727	19871201
DK 8706331	Α	19880604	DK 1987-6331	19871202
DK 174929	B1	20040301		
CN 87107230	Α	19880706	CN 1987-107230	19871202
ZA 8709040	Α	19880727	ZA 1987-9040	19871202
HU 45521	A2	19880728	HU 1987-5424	19871202
HU 199823		19900328		
SU 1482526	A3	19890523	SU 1987-4203762	19871202
PL 158614	B1	19920930	PL 1987-269185	19871202
KR 9705191	B1	19970414	KR 1987-13716	19871202
AU 8782177	A1	19880609	AU 1987-82177	19871203
AU 593961	B2	19900222		
PRIORITY APPLN. INFO.:			DE 1986-3641312	A 19861203
			EP 1987-117130	A 19871120

OTHER SOURCE(S): MARPAT 109:149373

GΙ

The title compds. [I; A = N, CR6; R1 = cyclopropyl, Me, Et, etc.; R2 = cyano, CO2R, dialkylcarbamoyl; R = H, alkyl, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl; R6 = H, halo, Me, NO2; X = halo, NO2, alkylsulfonyl, alkylsulfonyloxy; Y = R3; R3 = (un)substituted NH2, 7 specific and 4 general N-heterocyclyl] were prepared as antibacterial agents and immunostimulants (no data). 5,2,3,4-ClF3C6HCOCH2CO2Et (preparation given) was heated with HC(OEt)3 in Ac2O at 150-160° for 2 h to give 5,2,3,4-ClF3C6HCOC(:CHOEt)CO2Et which was stirred 2 h with cyclopropylamine in EtOH to give 5,2,3,4-ClF3C6HCOC(:CHR5)CO2Et (R5 = cyclopropylamino). The latter was heated 2 h at 160-170° in DMF containing NaF to give, after ester hydrolysis, quinolonecarboxylate II (R4 = Y = F). II (R4 = H, Y = F) and piperazine were heated at 150-160° for 30 min to give 98% II (R4 = H, Y = 1-piperazinyl).

IT 100936-74-1P 111453-57-7P 111453-60-2P 111453-69-1P 116572-58-8P 116572-59-9P 116572-60-2P 116572-63-5P 116572-64-6P 116572-65-7P 116607-46-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as antibacterial and immunostimulant)

RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 111453-57-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-8-nitro-4-oxo- (9CI) (CA INDEX NAME)

RN 111453-60-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 111453-69-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, 4,4'-methylenebis[3-hydroxy-2-naphthalenecarboxylate] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 108437-39-4 CMF C19 H20 F N3 O3

$$N$$
 $F$ 
 $CO_2H$ 

CM 2

CRN 130-85-8 CMF C23 H16 O6

RN 116572-58-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

$$\bigcap_{N} \bigvee_{F} \bigvee_{O} co_{2}H$$

●x HCl

RN 116572-59-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1,4-dihydro-6-nitro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

RN 116572-60-2 CAPLUS

CN

1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

•x HCl

RN 116572-63-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

•x HCl

RN 116572-64-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-(5-methyl-1,4-diazabicyclo[3.2.1]oct-4-yl)-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

$$Me$$
 $N$ 
 $E$ 
 $CO_2H$ 

•x HCl

RN 116572-65-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-(2,4-difluorophenyl)-6,8-difluoro-1,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

RN 116607-46-6 CAPLUS
CN 3-Quinolinecarboxylic acid, 8-chloro-1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

0 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:167325 CAPLUS

DOCUMENT NUMBER: 108:167325

TITLE: A process for the preparation of 7-(substituted

amino)-6,7-difluoro-1,4-dihydro-4-oxo-3-

quinolinecarboxylic acids as medicinal bactericides

PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE:

Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62167769	A2	19870724	JP 1987-3428	
US 4772706	Α	19880920	US 1986-818450	19860113
ZA 8609689	Α	19880831	ZA 1986-9689	19861223
AU 8666954	A1		AU 1986-66954	19861224
AU 587885	B2	19890831		
IL 81144		19901223		
CA 1283658	A1	19910430	CA 1987-526641	19870105
DK 8700096	Α	19870714	DK 1987-96	19870109
FI 8700086	Α	19870714	DK 1987-96 FI 1987-86	19870109
FI 88614	В	19930226		
FI 88614	С	19930610		
NO 8700109 NO 175366	Α	19870714	NO 1987-109	19870112
NO 175366	В	19940627		
NO 175366	С	19941005 19870916		
EP 236673	A2	19870916	EP 1987-100257	19870112
EP 236673	<b>A</b> 3	19880831		
	B1			
R: AT, BE, CH,	DE, ES	S, FR, GB,	GR, IT, LI, LU, NL, SE	
ни 44513 ни 197324	A2	19880328	HU 1987-94	19870112
HU 197324	В	19890328		
HU 204258	В	19911230	ни 1988-433	19870112
HU 46671	A2	19881128		
	Т3		ES 1987-100257	
CN 87100298			CN 1987-100298	
DK 9400749	Α	19940623	DK 1994-749	
PRIORITY APPLN. INFO.:			US 1986-818450	A 19860113
OTHER SOURCE(S):	CASREA	ACT 108:167	325; MARPAT 108:167325	

GΙ

$$F \xrightarrow{CO_2H} F \xrightarrow{CO_2CMe_3} F \xrightarrow{F} F$$

$$F \xrightarrow{R^2} F$$

The title compds. (I; R1 = substituted amino; R2 = C1-3 alkyl, C3-6 cycloalkyl) and their pharmaceutically acceptable salts, useful as bactericides, are prepared from 2,3,4,5-F4C6HCOCl (II) via III and IV (R3 = CN). A mixture of 1-cyclopropyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carbonitrile and 3-(tert-butyloxycarbonylamino)pyrrolidine (preparation given) in MeCN was refluxed overnight; following addition of Et3N, the mixture was refluxed for 7 h to give 95% 7-[3-(tert-butyloxycarbonylamino)pyrrolid in-1-yl]-1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxoquinoline-3-carbonitrile, which was treated with 30% HCl with heating to afford 69% I (R1 = 3-aminopyrrolidin-1-yl; R2 = cyclopropyl) (V). V in vitro showed MIC values of <0.1 μg/mL against Escherichia coli Vogel, Klebsiella pneumoniae MGH-2, Proteus rettgeri M1771, Pseudomonas aeruginosa UI-18, Staphylococcus aureus H282, Streptococcus faecalis MGH-2, etc.

IT 100936-74-1P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as bactericide)

RN 100936-74-1 CAPLUS

3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

10 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:94417 CAPLUS

Correction of: 1987:407085

DOCUMENT NUMBER:

108:94417

Correction of: 107:7085

TITLE:

Antibacterial, substituted (bridged-

diazabicycloalkyl) quinolonecarboxylic acids and a

process for their preparation

INVENTOR(S):

Jefson, Martin Raymond; McGuirk, Paul Robert

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE:

Eur. Pat. Appl., 56 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 215650	A2		EP 1986-307045	19860912
EP 215650	A3	19871202		
EP 215650	B1	19920129	•	
R: AT, BE, CH,	DE, FR	GB, IT,	LI, LU, NL, SE	
IN 166416	Α			
US 4861779	Α	19890829		
AT 72245	E	19920215		19860912
IL 80033	A1	19920525		19860915
ES 2001428	<b>A6</b>	19880516	ES 1986-1935	19860916
PL 149987	B1	19900430	PL 1986-261410	19860916
CZ 277825	В6	19930317	CZ 1986-6678	19860916
SK 278605	В6	19971105	SK 1986-6678	19860916
CA 1340734	A1	19990914		19860916
AU 8662768	A1	19870319	AU 1986-62768	19860917
AU 576302	B2	19880818		
FI 8603756	Α	19870319	FI 1986-3756	19860917
FI 87565	В	19921015		
FI 87565	С			
NO 8603718	Α		NO 1986-3718	19860917
	В	19920629		
NO 170335	С			
DK 8604458	Α		DK 1986-4458	19860917
DK 171276	B1	19960819		
CN 86106385	Α	19870603	CN 1986-106385	19860917
CN 1014789	В	19911120		
ни 43070	A2	19870928	ни 1986-3976	19860917
HU 200462	В	19900628		
ZA 8607063	Α	19880427		19860917
DD 259190	A5	19880817	DD 1986-294486	19860917
SU 1482531	A3	19890523	SU 1986-4028142	19860917
JP 62103083	A2	19870513	JP 1986-220819	19860918
JP 07098819	B4	19951025		
US 5091383	Α	19920225	US 1988-157182	
ORITY APPLN. INFO.:			US 1985-777471	A 19850918
			US 1986-898155	B2 19860819
			EP 1986-307045	A 19860912

OTHER SOURCE(S): CASREACT 108:94417; MARPAT 108:94417

GI

$$\bigcap_{R^2 \longrightarrow A \longrightarrow \bigvee_Y^{O} CO_2R^1}$$

Title compds. I [R1 = H, cation, alkyl; A = CH, CF, CCl, N; Y = alkyl, haloalkyl, cyclopropyl, CH:CH2, OMe, NHMe, C6H4F-4, C6H4OH-4, C6H4NH2-4; or A = C and forms ring with Y, optionally containing O and/or substituted by Me or: CH2; R2 = bridged diazabicycloalkyl with possible N-substitution by alkyl, alkoxycarbonyl, or alkylcarbamoyl] are prepared as antibacterials (no data). A mixture of 1-ethyl-6,7-difluoro-4-oxo-1,4-dihydro-3-quinolinecarboxylic acid 11.9, 8-methyl-3,8-diazabicyclo[3.2.1]octane-2HCl 22.7, and DBU 4.6 mmol in pyridine was stirred under N at 80° for 3 h to give 65% I (R1 = H, R2 = 8-methyl-3,8-diazabicyclo[3.2.1]oct-3-yl, A = CH, Y = Et).

IT 112894-29-8P 112894-33-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as antibacterial)

Τ

RN 112894-29-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 112894-33-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.2]non-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

0 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:636747 CAPLUS

DOCUMENT NUMBER:

107:236747

TITLE: Preparation of 7-(azabicycloalkyl)-3-

quinolinecarboxylates and -3-naphthyridinecarboxylates

as bactericides and feed additives

INVENTOR(S):

Petersen, Uwe; Grohe, Klaus; Schenke, Thomas;

Hagemann, Hermann; Zeiler, Hans Joachim; Metzger, Karl

Georg

PATENT ASSIGNEE(S):

Bayer A.-G. , Fed. Rep. Ger.

SOURCE:

Ger. Offen., 26 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent German

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 3601567	A1	19870723	DE 1986-3601567	-	19860121
AU 8767463	A1	19870723	AU 1987-67463		19870109
NO 8700126	Α	19870722	NO 1987-126		19870113
EP 230274	A2	19870729	EP 1987-100460		19870115
EP 230274	A3	19880309			
R: AT, BE, CH,	DE, ES	, FR, GB,	GR, IT, LI, NL, SE		
SU 1538897	A3	19900123	SU 1987-4028796		19870115
FI 8700200	Α	19870722	FI 1987-200		19870119
DD 265401	A5	19890301	DD 1987-299333		19870119
DK 8700292	Α	19870722	DK 1987-292		19870120
ZA 8700380	Α	19870930	ZA 1987-380		19870120
JP 62169789	A2	19870725	JP 1987-10113		19870121
CN 87100354	Α	19870902	CN 1987-100354		19870121
HU 45531	A2	19880728	HU 1987-178		19870121
PRIORITY APPLN. INFO.:			DE 1986-3601567	Α	19860121
OTHER SOURCE(S):	CASREA	CT 107:23	6747; MARPAT 107:236747		
GI					

AB The title compds. [I; A = N, R4C; R1 = Me, Et, Pr, Me2CH, cyclopropyl, CH2:CH, HOCH2CH2, FCH2CH2, MeO, Ph, FC6H4, 2,4-F2C6H3, NH2, MeNH, Me2N; R2 = H, C1-4 alkyl, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl; R3 = <math>Q-Q3, optionally substituted by OH, Me; R4 = H, Me, C1, F, NO2, R1R4 = OCH2CHMe, SCH2CHMe, CH2CH2CHMe; X1 = C1, F, NO2; Y = R5N, O, S; R5 = H, C2-4 oxoalkyl, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl, (OH-substituted) C1-4 alkyl, alkenyl, alkynyl, (un)substituted PhCH2; Z = (CH2)n, CH2OCH2, CH2SCH2, CH2S, CH2,NR6CH2; R6 = H, Me; n = 1-3] were prepared as bactericides and feed additives. 1-Cyclopropyl-6,7-difluoro-1,4-dihydro-4oxo-3-quinolinecarboxylic acid and 1,4-diazabicyclo[3.2.1]octane were refluxed 6 h in MeCN/DMF in the presence of 1,4-diazabicyclo[2.2.2]octane to give, after acidification, diazabicyclooctylquinoline carboxylate II. II had a min. inhibitory concentration of 0.125 mcg/mL against Staphylococcus aureus 133 compared to 0.5 mcg/mL for ciprofloxacin. Tablets were prepared each containing II 583.0, microcryst. cellulose 55.0, cornstarch 72.0, polyvinylpyrrolidone 30.0, colloidal silica 5.0, Mg stearate 5.0, (hydroxypropyl)methylcellulose 6.0, macrogol 4000 2.0, and TiO2 2.0 mg.

IT 111453-53-3

RL: RCT (Reactant); RACT (Reactant or reagent) (aminolysis of, by diazabicyclooctane)

RN 111453-53-3 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-7-(5-methyl-1,4-diazabicyclo[3.2.1]oct-4-yl)-4-oxo-(9CI) (CA INDEX NAME)

IT 100936-74-1P 108437-39-4P 111453-57-7P

111453-59-9P 111453-60-2P 111453-62-4P

111453-65-7P 111453-66-8P 111453-67-9P

111453-68-0P 111453-69-1P 111453-70-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as bactericide)

RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 108437-39-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

RN 111453-57-7 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-8-nitro-4-oxo- (9CI) (CA INDEX NAME)

RN 111453-59-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1-(2,4-difluorophenyl)-6,8-difluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

## ● HCl

RN 111453-60-2 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)

RN 111453-62-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

$$\bigcap_{N} \bigvee_{F} \bigvee_{O} co_{2^{H}}$$

## HCl

RN 111453-65-7 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

## HCl

RN 111453-66-8 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-1,4-dihydro-6-nitro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 111453-67-9 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 111453-68-0 CAPLUS

CN 3-Quinolinecarboxylic acid, 8-chloro-1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 111453-69-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, 4,4'-methylenebis[3-hydroxy-2-naphthalenecarboxylate] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 108437-39-4 CMF C19 H20 F N3 O3

$$\bigcap_{N} \bigvee_{F} \bigcap_{O} \operatorname{co}_{2H}$$

CM 2

CRN 130-85-8 CMF C23 H16 O6

RN 111453-70-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

O ANSWER 35 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:407085 CAPLUS

DOCUMENT NUMBER: 107:7085

TITLE: Substituted bridged-diazabicycloalkylquinolonecarboxyl

ic acids as bactericides

INVENTOR(S): Jefson, Martin Raymond; McGuirk, Paul Robert

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: Eur. Pat. Appl., 56 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 215650 A2		19870325	EP 1986-307045	19860912
R: AT, BE, CH, DE,	FR, GB	, IT, LI,	LU, NL, SE	
PRIORITY APPLN. INFO.:			US 1985-777471	19850918
GI				

- AB The title compds. (I; R1 = H, alkyl, pharmaceutically-acceptable cation; R2 = diazabicyclyl; R3 = alkyl, haloalkyl, cyclopropyl, vinyl, OMe, etc.; X = CH, CF, CCl, N; R3X = atoms to complete a ring) were prepared as antibiotics (no data). Difluoroquinolone I (R1 = H, R2 = F, R3 = Et) was heated with 8-methyl-3,8-diazabicyclo[3.2.1]octane.HCl in pyridine and 1,8-diazabicyclo[5.4.0]undec-7-ene at 80° for 3 h to give 65% of quinolonecarboxylate derivative II.
- IT 108437-32-7P 108437-39-4P
  RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
  BIOL (Biological study); PREP (Preparation); USES (Uses)
  (preparation of, as bactericide)
- RN 108437-32-7 CAPLUS
- CN 3-Quinolinecarboxylic acid, 7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1-(4-fluorophenyl)-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 108437-39-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

$$N$$
 $F$ 
 $CO_2H$ 

ACCESSION NUMBER: 1986:442669 CAPLUS

105:42669 DOCUMENT NUMBER:

Domagala, John M.; Schroeder, Mel C.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: Quinoline-3-carboxylic acid antibacterial agents

CODEN: USXXAM

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	_	DATE
US 4578473	A	19860325	US 1985-723019		19850415
IL 78275	A1	19890910	IL 1986-78275		19860326
CA 1277661	A1	19901211	CA 1986-505198		19860326
ZA 8602384	Α	19871125	ZA 1986-2384		19860401
AU 8655674	A1	19861023	AU 1986-55674		19860404
AU 589415	B2	19891012			
DK 8601661	Α	19861016	DK 1986-1661		19860411
FI 8601547	Α	19861016	FI 1986-1547		19860411
EP 198678	A2	19861022	EP 1986-302687		19860411
EP 198678	A3	19870325			
EP 198678	B1	19910821			
R: AT, BE, CH,	DE, FF				
AT 66474	E	19910915	AT 1986-302687		19860411
CN 86102449	Α				19860412
NO 8601449	Α	19861016	NO 1986-1449		19860414
NO 168475	В	19911118			
NO 168475	С	19920226			
JP 61238779	A2	19861024	JP 1986-84403		19860414
DD 244135	<b>A</b> 5	19870325			19860414
HU 41019	A2	19870330	HU 1986-1556		19860414
HU 195497	В	19880530			
ES 553991	Al	19871116	ES 1986-553991		19860415
AU 596820	B2	19900517	AU 1986-66870		19861222
AU 8666870	<b>A</b> 1	19871008			
PRIORITY APPLN. INFO.:			us 1985-723019		
			EP 1986-302687	Α	19860411
OTHER SOURCE(S):	CASREA	ACT 105:426	69		

GI

AB A process for the preparation of quinolinecarboxylic acids I [A = piperazino, N-methylpiperazino, Q [n = 0, 1; R3 = H, Me, Et, Pr, CHMe2, (un)substituted mono- or diazabicycloalkyl]; X = H, F; R2 = C1-3 alkyl, C3-6 cycloalkyl] and their pharmaceutically acceptable salts, useful as antibacterials (no data), comprised: (a) reacting 1.0-3.0 equiv of an iodotrialkylsilane in an inert solvent with II (R1 = C1-3 alkyl) and heating the reaction mixture until the reaction is complete at 30-100° to form a trialkylsilyl ester thereof; (b) adding ≥1 equiv of the appropriate amine to the trialkylsilyl ester in an aprotic solvent or an aprotic cosolvent and heating the reaction mixture between 60° and 120° until the reaction is complete. In an example, 97% III was prepared

IT 100936-74-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antibacterial)

RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

ALO ANSWER 37 OF 37 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:148850 CAPLUS

DOCUMENT NUMBER: 104:148850

Substituted naphthyridine-, quinoline- and TITLE:

benzoxazinecarboxylic acids as antibacterial agents

INVENTOR(S): Hutt, Marland P.; Mich, Thomas F.; Culbertson, Townley

Р.

PATENT ASSIGNEE(S): Warner-Lambert Co., USA SOURCE: Eur. Pat. Appl., 64 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.		DATE	APPLICATION NO.		DATE
		19851023	EP 1985-302479	_	19850409
EP 159174	A3	19870204			
EP 159174	В1	19911023			
			LI, LU, NL, SE		
US 4571396	Α	19860218	US 1985-708565		19850311
CA 1340695	A1	19990810	US 1985-708565 CA 1985-477394		19850325
ZA 8502365	Α	19851127	ZA 1985-2365		19850328
AU 8540920	<b>A</b> 1	19851024	AU 1985-40920		19850409
AU 566984	B2	19871105			
AT 68793	E	19911115	AT 1985-302479		19850409
IL 74882		19880630	IL 1985-74882		19850411
FI 8501471 FI 83872	Α	19851017	FI 1985-1471		19850412
FI 83872	В	19910531			
FI 83872	С	19911230			
DK 8501696	Α	19851017	DK 1985-1696		19850415
DK 172796	B1	19990719			
NO 8501501	Α	19851017	NO 1985-1501		19850415
NO 162560					
NO 162560	С	19900117			
JP 60260573	A2	19851223	JP 1985-78623		19850415
JP 07002739	B4	19950118			
HU 37759	A2	19860228	HU 1985-1399		19850415
ES 542239	A1	19860301	ES 1985-542239		19850415
HU 201554	В	19901128	HU 1990-805		19850415
FI 88040	В	19921215	FI 1990-3556		19900713
FI 88040	С	19930325			
PRIORITY APPLN. INFO.:			US 1984-600934	Α	19840416
			US 1985-708565	Α	19850311
			EP 1985-302479	Α	19850409

EF 1985-302479 A 19850409
OTHER SOURCE(S): CASREACT 104:148850; MARPAT 104:148850

GI

AB The title compds. [I; R1 = H, alkyl, cation; R2 = CH2:CH, cycloalkyl, (un)substituted alkyl; X = CH, CF, N; Z = bicyclic amino; and II; R1, Z as given; R3, R4 = H, alkyl; W = CH2, O, S, RN; Y = H, F, amino; R = H, (hydroxy)alkyl, PhCH2, 4-H2NC6H4CH2] were prepared Thus, 2.67 g I (R1 = H, R2 = cyclopropyl, X = N, Z = EtSO2), prepared in 11 steps from Et 4-(6-chloro-3-nitro-2-pyridinyl)-1-piperazinecarboxylate, was stirred with 1.58 g 1,4-diazabicyclo[3.2.1]octane-di-HCl at 0°, then 18 h at room temperature, to give 1.04g diazabicyclooctylnaphthyridinecarboxylic acid III. Against Escherichia coli Vogel III had a min. inhibitory concentration of 0.05 μg/mL.

# IT 100936-71-8P 100936-74-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as bactericide)

RN 100936-71-8 CAPLUS

CN 1,8-Naphthyridine-3-carboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6-fluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

RN 100936-74-1 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-7-(1,4-diazabicyclo[3.2.1]oct-4-yl)-6,8-difluoro-1,4-dihydro-4-oxo-(9CI) (CA INDEX NAME)

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